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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 4	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 5	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 6	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 7	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 8	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9	MAR 22	EMBASE is now updated on a daily basis
NEWS 10	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 11	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 12	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 13	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 14	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 15	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected
NEWS 16	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 17	MAY 11	KOREAPAT updates resume
NEWS 18	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 19	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 20	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 21	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS EXPRESS		FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005. V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT http://download.cas.org/express/v8.0-Discover/
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NEWS LOGIN		Welcome Banner and News Items
NEWS IPC8		For general information regarding STN implementation of IPC 8
NEWS X25		X.25 communication option no longer available after June 2006

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FILE 'HOME' ENTERED AT 08:28:37 ON 12 JUN 2006

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:28:56 ON 12 JUN 2006
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 11 JUN 2006 HIGHEST RN 887399-72-6
DICTIONARY FILE UPDATES: 11 JUN 2006 HIGHEST RN 887399-72-6

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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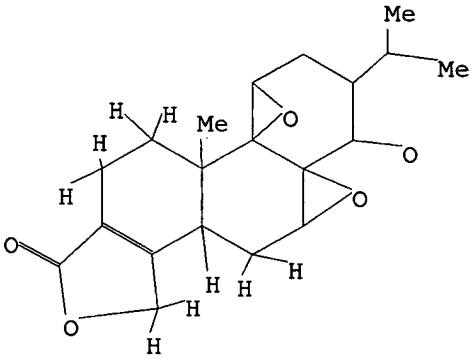
****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

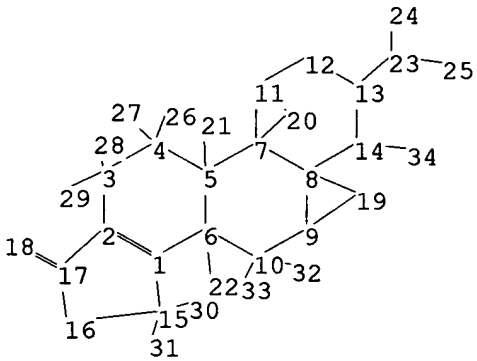
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10528444.str





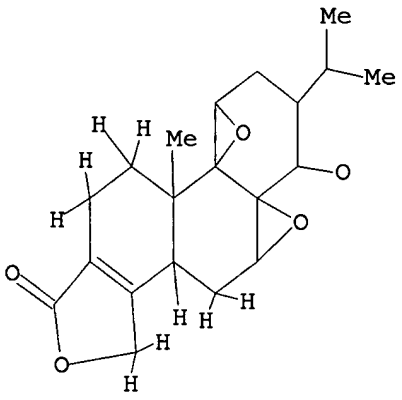
chain nodes :
18 21 22 23 24 25 26 27 28 29 30 31 32 33 34
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 19 20
chain bonds :
3-28 3-29 4-26 4-27 5-21 6-22 10-32 10-33 13-23 14-34 15-30 15-31 17-18 23-24
23-25
ring bonds :
1-2 1-6 1-15 2-3 2-17 3-4 4-5 5-6 5-7 6-10 7-8 7-11 7-20 8-9 8-14 8-19 9-10
9-19 11-12 11-20 12-13 13-14 15-16 16-17

exact/norm bonds :
1-2 1-6 1-15 2-3 2-17 3-4 4-5 5-6 5-7 6-10 7-8 7-11 7-20 8-9 8-14 8-19 9-10
9-19 11-12 11-20 12-13 13-14 14-34 15-16 16-17 17-18
exact bonds :
3-28 3-29 4-26 4-27 5-21 6-22 10-32 10-33 13-23 15-30 15-31 23-24 23-25

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS
31:CLASS 32:CLASS 33:CLASS 34:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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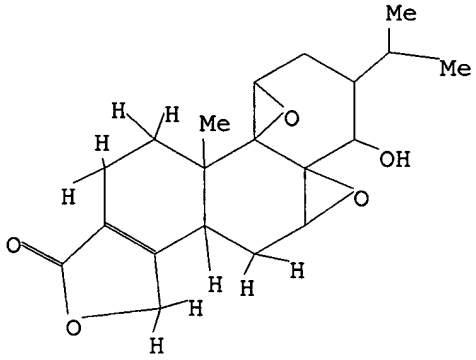
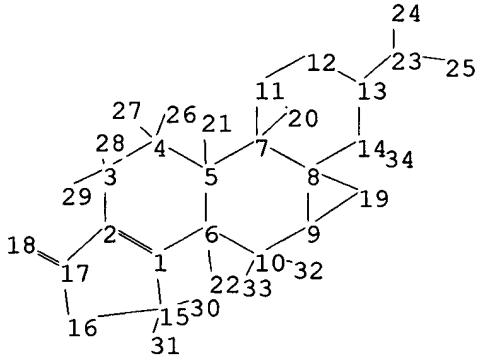
Uploading C:\Program Files\Stnexp\Queries\10528444a.str





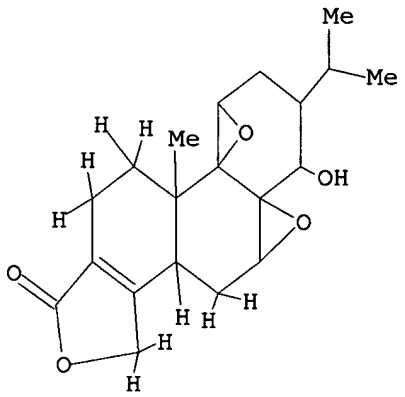
chain nodes :
18 21 22 23 24 25 26 27 28 29 30 31 32 33 34
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 19 20
chain bonds :
3-28 3-29 4-26 4-27 5-21 6-22 10-32 10-33 13-23 14-34 15-30 15-31 17-18 23-24
23-25
ring bonds :
1-2 1-6 1-15 2-3 2-17 3-4 4-5 5-6 5-7 6-10 7-8 7-11 7-20 8-9 8-14 8-19 9-10
9-19 11-12 11-20 12-13 13-14 15-16 16-17
exact/norm bonds :

1-2 1-6 1-15 2-3 2-17 3-4 4-5 5-6 5-7 6-10 7-8 7-11 7-20 8-9 8-14 8-19 9-10
9-19 11-12 11-20 12-13 13-14 14-34 15-16 16-17 17-18
exact bonds :
3-28 3-29 4-26 4-27 5-21 6-22 10-32 10-33 13-23 15-30 15-31 23-24 23-25

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS
31:CLASS 32:CLASS 33:CLASS 34:CLASS

L2 STRUCTURE UPLOADED

=> d l2
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 08:29:56 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 22 TO ITERATE

100.0% PROCESSED 22 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 159 TO 721
PROJECTED ANSWERS: 5 TO 234

L3 5 SEA SSS SAM L1

=> s l1
SAMPLE SEARCH INITIATED 08:30:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 22 TO ITERATE

100.0% PROCESSED 22 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 159 TO 721
PROJECTED ANSWERS: 5 TO 234

L4 5 SEA SSS SAM L1

```
=> s l1 full
FULL SEARCH INITIATED 08:30:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      473 TO ITERATE

100.0% PROCESSED      473 ITERATIONS                                85 ANSWERS
SEARCH TIME: 00.00.01

L5           85 SEA SSS FUL L1

=> s l2 full
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FULL SCREEN SEARCH COMPLETED -      473 TO ITERATE

100.0% PROCESSED      473 ITERATIONS                                33 ANSWERS
SEARCH TIME: 00.00.01

L6           33 SEA SSS FUL L2

=> s l5 not l6
L7           52 L5 NOT L6

=> file caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 334.32     334.53

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=> s l7 full
L8           31 L7

=> d ibib abs hitstr tot

L8   ANSWER 1 OF 31  CAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2006:383513  CAPLUS
DOCUMENT NUMBER:          144:425652
TITLE:                    Identification and screening of triptolide target
                          molecules
INVENTOR(S):              Fidler, John M.; Musser, John H.
PATENT ASSIGNEE(S):       Pharmagenesis, Inc., USA
SOURCE:                   PCT Int. Appl., 41 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
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PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006044496	A2	20060427	WO 2005-US36751	20051012

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-618290P P 20041013

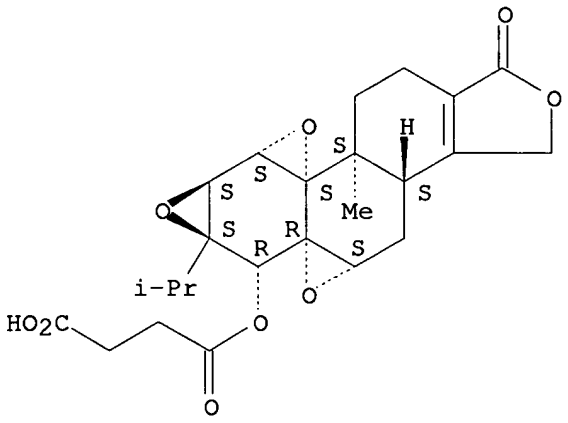
AB The identification of triptolide target mols. is described. Also described are methods of screening triptolide-related compds. for binding to these mols., including screening for enhanced and/or selective binding, and expression anal. of the target mols. in normal and in diseased tissue.

IT **195883-09-1**, PG490-88 **630093-07-1**, PG695
874619-68-8, PG 702
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(identification and screening of triptolide target mols.)

RN 195883-09-1 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

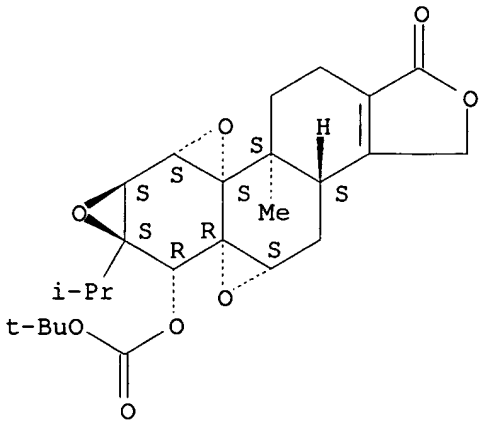


● Na

RN 630093-07-1 CAPLUS

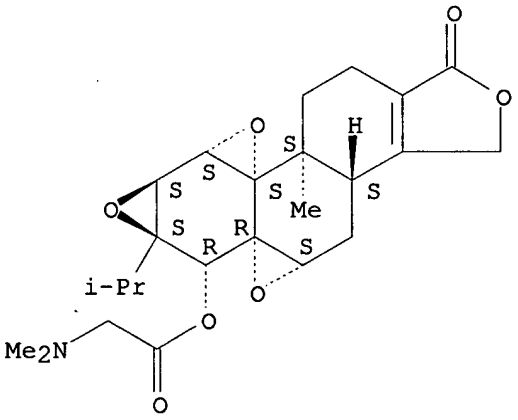
CN Carbonic acid, 1,1-dimethylethyl (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

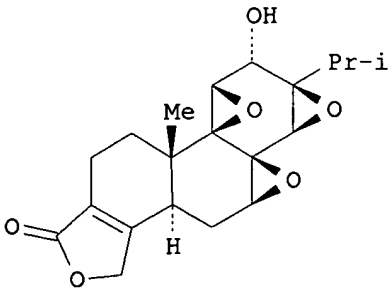


RN 874619-68-8 CAPLUS
CN Glycine, N,N-dimethyl-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:188900 CAPLUS
DOCUMENT NUMBER: 144:412719
TITLE: Semisynthesis of C-ring modified triptolide analogues and their cytotoxic activities
AUTHOR(S): Aoyagi, Yutaka; Hitotsuyanagi, Yukio; Hasuda, Tomoyo; Fukaya, Haruhiko; Takeya, Koichi; Aiyama, Ritsuo; Matsuzaki, Takeshi; Hashimoto, Shusuke
CORPORATE SOURCE: School of Pharmacy, Tokyo University of Pharmacy & Life Science, Tokyo, 192-0392, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(7), 1947-1949
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:412719
GI



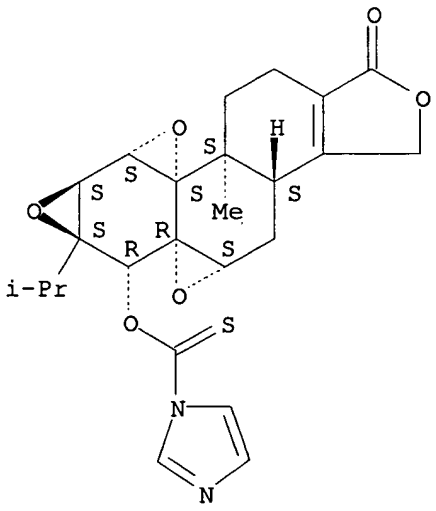
I

AB Several C-ring modified analogs (e.g. I) of a potent antileukemic diterpene, triptolide, were synthesized and their structure-activity relationships were studied.

IT **883976-16-7P 883976-20-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(semisynthesis and antitumor activity of C-ring modified triptolide analogs)

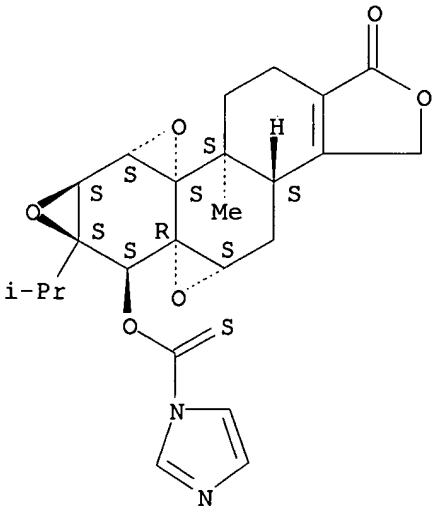
RN 883976-16-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 883976-20-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:103747 CAPLUS
DOCUMENT NUMBER: 144:164242
TITLE: Method for treatment of inflammatory disorders using triptolide compounds
INVENTOR(S): Fidler, John M.; Musser, John H.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012204	A2	20060202	WO 2005-US22247	20050623

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-583295P P 20040625

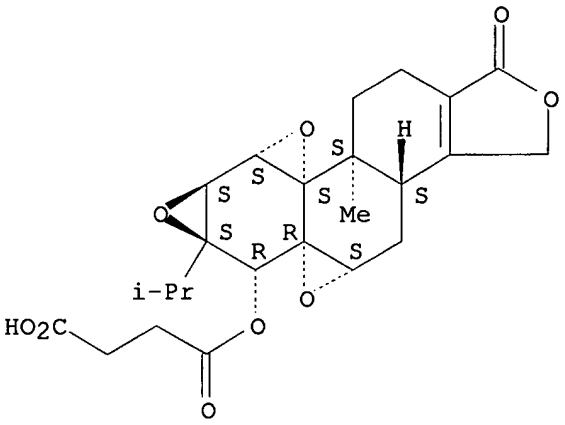
AB Inflammatory disorders, including obliterative airway disease, renal fibrosis, diabetic nephropathy, and liver fibrosis are treated with immunosuppressive triptolide compds., in particular triptolide compds. effective to inhibit TGF-β production in a patient afflicted with such a disorder. Preparation of triptolide derivs. is included.

IT **195883-06-8P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(triptolide compds. for treatment of inflammatory disorders)

RN 195883-06-8 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA

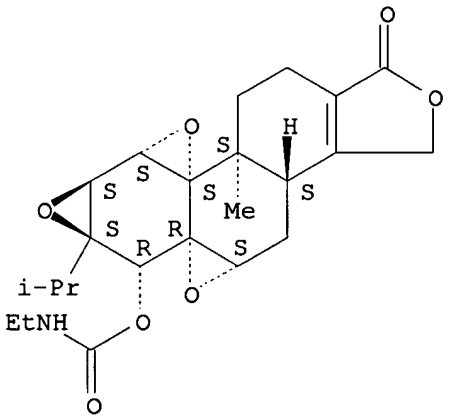
INDEX NAME)

Absolute stereochemistry.



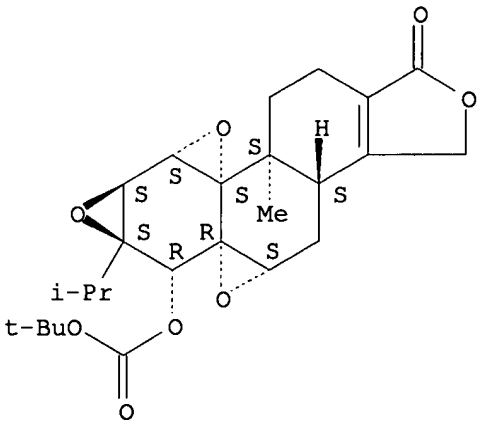
IT **630092-99-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(triptolide compds. for treatment of inflammatory disorders)
RN 630092-99-8 CAPLUS
CN Carbamic acid, ethyl-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



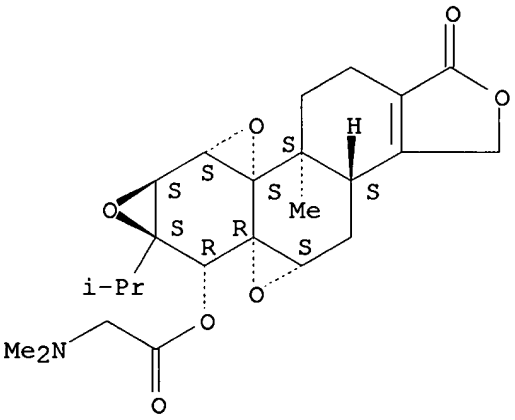
IT **630093-07-1 874619-68-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(triptolide compds. for treatment of inflammatory disorders)
RN 630093-07-1 CAPLUS
CN Carbonic acid, 1,1-dimethylethyl (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



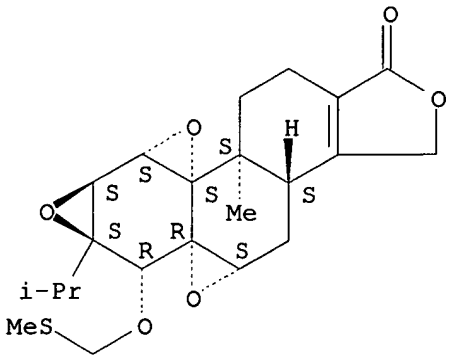
RN 874619-68-8 CAPLUS
CN Glycine, N,N-dimethyl-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **847440-49-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(triptolide compds. for treatment of inflammatory disorders)
RN 847440-49-7 CAPLUS
CN Trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one, 3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-[(methylthio)methoxy]-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1075802 CAPLUS
DOCUMENT NUMBER: 143:373270
TITLE: Pharmaceutical compositions containing polymer conjugates of Tripterygium extracts
INVENTOR(S): Ji, Shishan; Zhu, Dequan
PATENT ASSIGNEE(S): Beijing Jenkem Technology Co., Ltd., Peop. Rep. China
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092898	A1	20051006	WO 2005-CN298	20050311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1676525	A	20051005	CN 2004-10029615	20040329

PRIORITY APPLN. INFO.: CN 2004-10029615 A 20040329

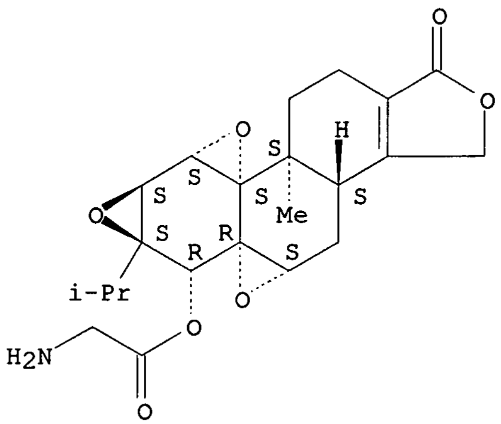
AB The present invention relates to the prepn of conjugates of a hydrophilic polymer with Tripterygium exts. or its derivs., represented by formula P(LD)n and PX(NHCH((CHR1)jCOZD)CO)mZD, wherein P is a hydrophilic polymer, preferably polyglycol; L, X and Z are linking group, D is the exts. of Tripterygium wilfordii or the derivs. thereof; R is an alkyl group or H; and n,m,i,j are integers. The conjugates have improved water-solubility of the exts. of Tripterygium wilfordii or the derivs. thereof, reduced the toxicity and prolonged half-lives in vivo. Disclosured is also the pharmaceutical compns. containing the conjugates, such as tablets, pellets, capsules and suppositories. For example, freeze dried powders for injection containing triptolide conjugate prepared by reacting triptolide with monomethoxy PEG acetate, under the presence of DCC and 4-dimethylaminopyridine.

IT **866363-82-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pharmaceutical compns. containing polymer conjugates of Tripterygium exts.)

RN 866363-82-8 CAPLUS
CN Glycine, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-

oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

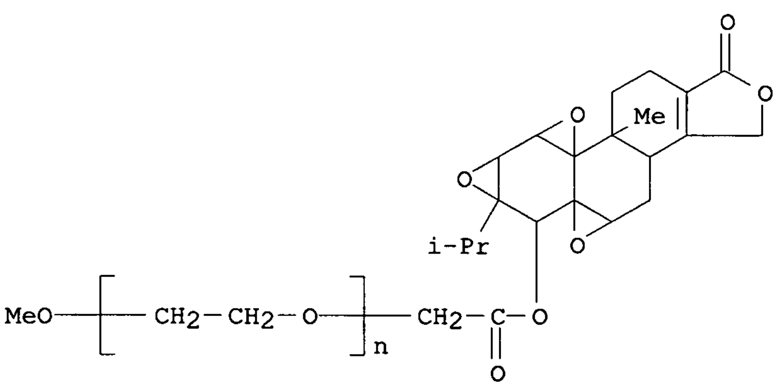
Absolute stereochemistry.



IT **866363-80-6P 866363-81-7P 866363-83-9P**
866363-84-0P 866363-85-1P 866363-86-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical compns. containing polymer conjugates of Tripterygium exts.)

RN 866363-80-6 CAPLUS

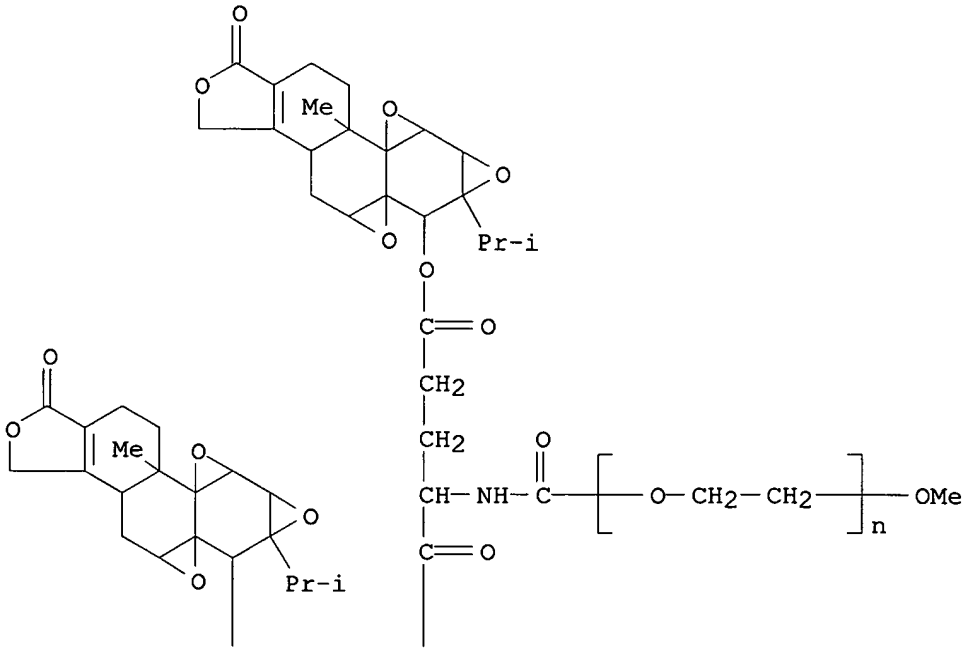
CN Poly(oxy-1,2-ethanediyl), α-[2-[[(3bS,4aS,5aS,6R,6aR,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]-2-oxoethyl]-ω-methoxy- (9CI) (CA INDEX NAME)



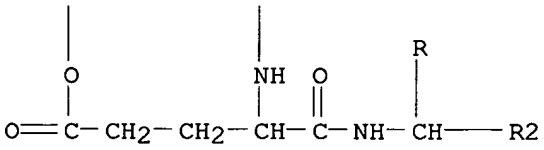
RN 866363-81-7 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α-[[[(1S)-1-[[[(1S)-1-[[[(1S)-1-[[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]carbonyl]-4-[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]-4-oxobutyl]amino]carbonyl]-4-[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]-4-oxobutyl]amino]carbonyl]-4-[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]-4-oxobutyl]amino]carbonyl]-ω-methoxy- (9CI) (CA INDEX NAME)

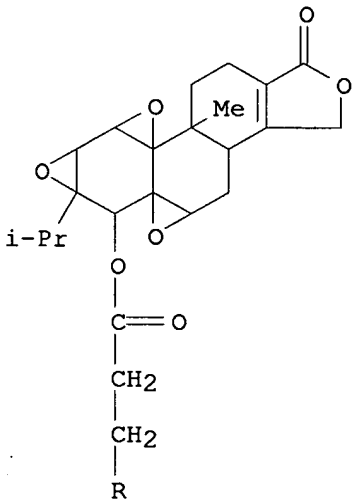
PAGE 1-A



PAGE 2-A



PAGE 3-A



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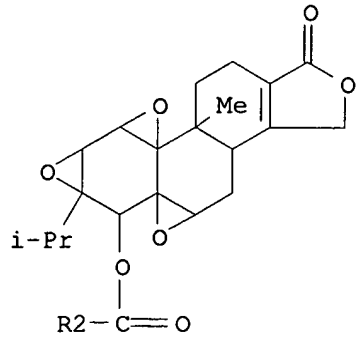
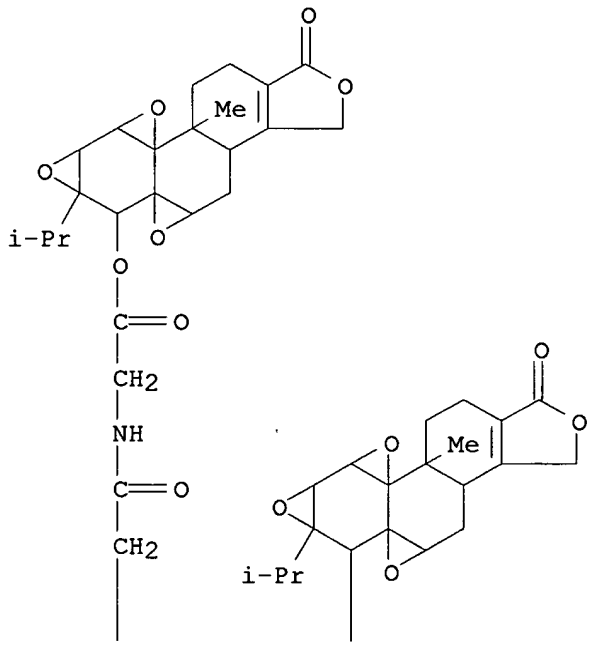
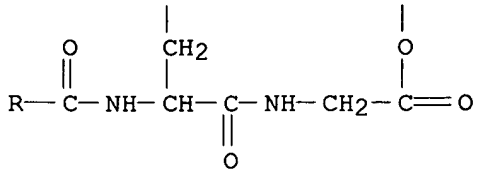


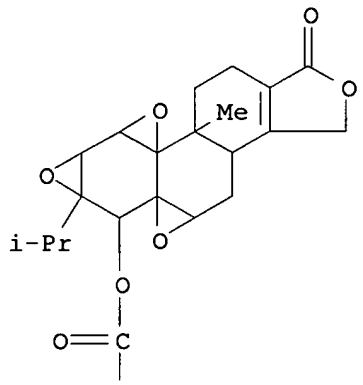
RN 866363-83-9 CAPLUS

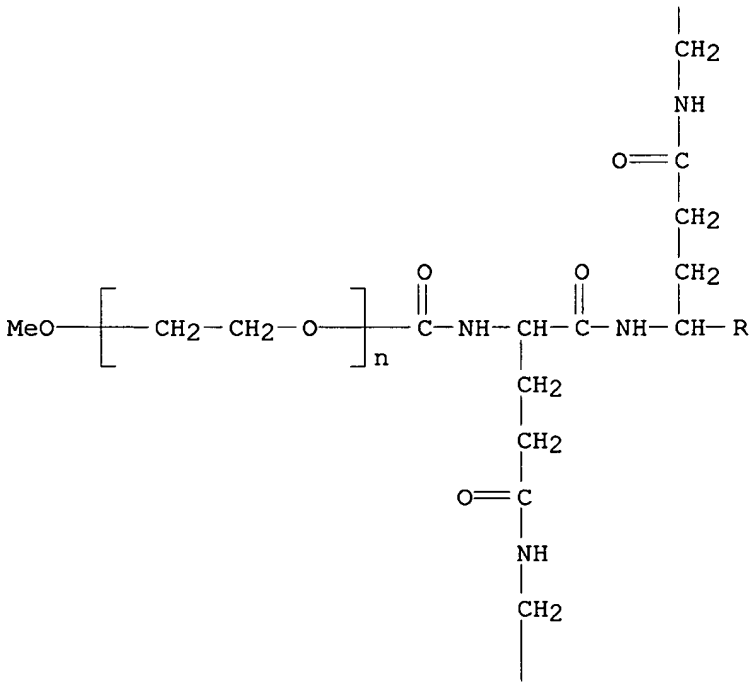
CN Poly(oxy-1,2-ethanediyl), α-[(3S,6S,9S)-3,6,9-tris[3-[[2-[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]-2-oxoethyl]amino]-3-oxopropyl]-13-[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]-1,4,7,10,13-pentaoxo-2,5,8,11-tetraazatridec-1-yl]-ω-methoxy- (9CI) (CA INDEX NAME)

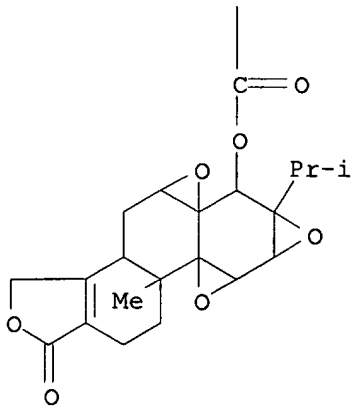
PAGE 1-A



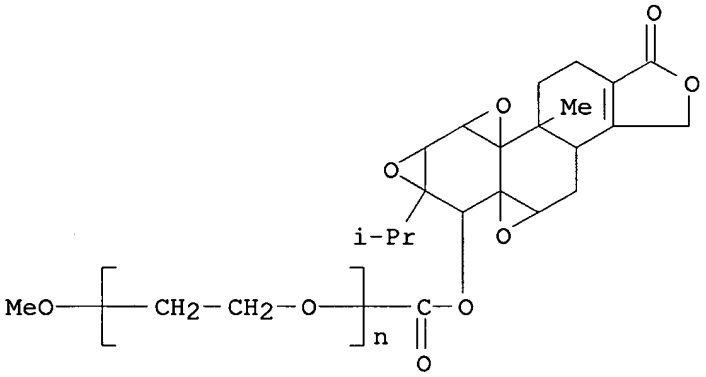




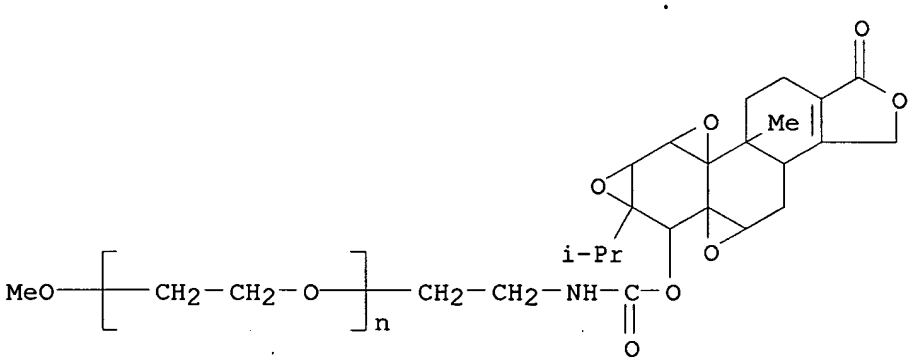




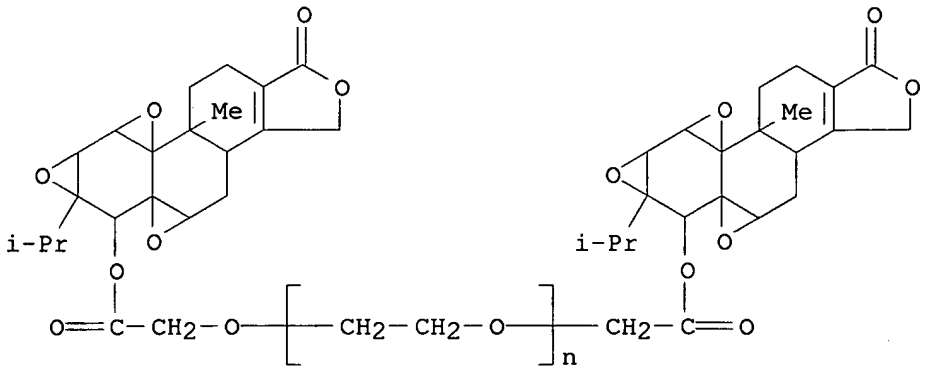
RN 866363-84-0 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]carbonyl]-ω-methoxy- (9CI) (CA INDEX NAME)



RN 866363-85-1 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[2-[[[[(3bS,4aS,5aS,6R,6aR,7aR,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]carbonyl]amino]ethyl]-ω-methoxy- (9CI) (CA INDEX NAME)



RN 866363-86-2 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[2-[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]-2-oxoethyl]-ω-[2-[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]-2-oxoethoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1001864 CAPLUS
DOCUMENT NUMBER: 143:279364
TITLE: Triptolide lactone ring derivatives as immunomodulators and anticancer agents
INVENTOR(S): Yuan, Hongwei; Musser, John H.; Dai, Dongcheng
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005084365	A2	20050915	WO 2005-US6952	20050302
WO 2005084365	A3	20051110		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

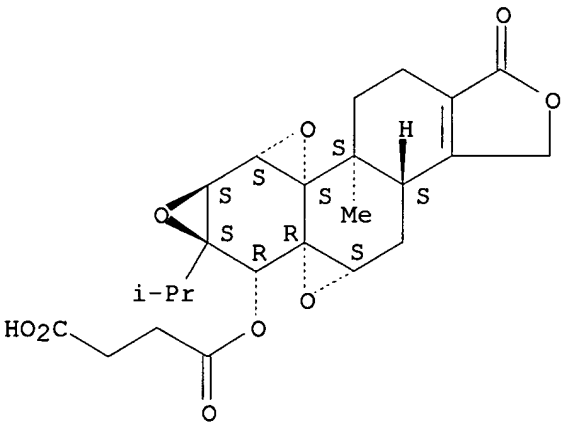
PRIORITY APPLN. INFO.: US 2004-549769P P 20040302
OTHER SOURCE(S): MARPAT 143:279364

AB Disclosed are compds. based on lactone ring modifications of triptolide and hydroxylated triptolide, for use in therapy, such as antiproliferative, anticancer, and immunosuppressive therapy.

IT **195883-09-1P**, PG490-88
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(triptolide lactone ring derivs. as immunomodulators and anticancer agents)

RN 195883-09-1 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

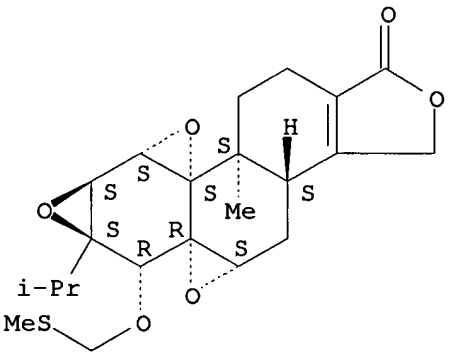
Absolute stereochemistry.



● Na

IT **847440-49-7P**, PG 691
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(triptolide lactone ring derivs. as immunomodulators and anticancer agents)
RN 847440-49-7 CAPLUS
CN Trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one, 3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-[(methylthio)methoxy]-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:479342 CAPLUS
DOCUMENT NUMBER: 143:298718
TITLE: Immunosuppression with a Combination of Pg490-88 and a Subtherapeutic Dose of FK506 in a Canine Renal Allograft Model
AUTHOR(S): Wang, Ximo; Sun, Hongtao; Chen, Gang; Liu, Weihua; Wise, Yishai; Yung, Chenlin; Sudo, Yuji; Tamura, Kouichi; Garcia, Bertha; Zhong, Robert
CORPORATE SOURCE: Department of Surgery, The University of Western Ontario, London, ON, Can.
SOURCE: Transplantation (2005), 79(11), 1537-1544
CODEN: TRPLAU; ISSN: 0041-1337
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Background: PG490-88 is a water soluble, semisynthetic derivative of a novel

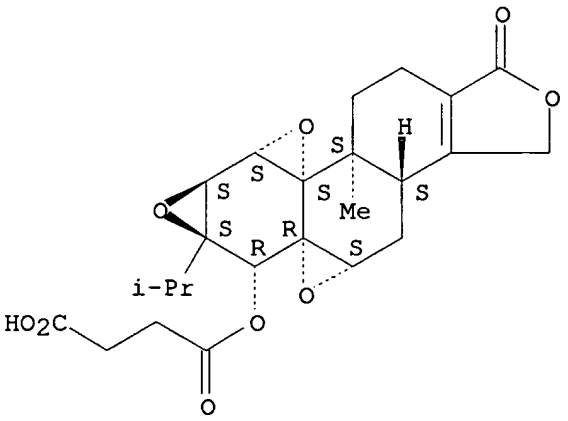
compound PG490 (triptolide) purified from the Chinese herb Tripterygium Wilfordii Hook F. In this study, we evaluated the immunosuppressive effect of PG490-88 alone or combined with FK506 in a dog renal transplantation model. Methods: Recipient and donor male beagle dogs were obtained from different breeders to ensure MHC mismatching. PG490-88 and/or FK506 were administered orally based on protocol design. Results: All dogs in the untreated group developed acute vascular rejection with a median survival time of 6 days. The grafts from this group presented with massive hemorrhage, IgM, IgG, and C4c deposition. Administration of PG490-88 0.06 mg/kg/day significantly prolonged graft survival to a median survival time of 11 days (P=0.038, vs. control). Treatment with FK506 0.3 mg/kg/day did not prolong graft survival with a median survival time of 9 days. Although FK506 0.6 mg/kg/day significantly prolonged survival, this dose was not tolerated by the dogs. The combination of PG 0.06 mg/kg/day and FK506 0.3 mg/kg/day significantly prolonged survival to a median survival time of 15 days (P=0.017, vs. control). Compared to the untreated control group, the pattern of acute humoral rejection was attenuated in renal allografts treated with PG490-88 and/or FK506. C4c deposition was significantly decreased in renal allografts treated with PG490-88 monotherapy and combination therapy. Conclusions: PG490-88 alone and combined with low dose FK506 significantly prolonged renal allograft survival in a dog model. This agent attenuated acute humoral rejection by inhibiting complement activation and T-cell infiltration.

IT **195883-09-1**, Pg490-88
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PG490-88 alone or combined with low dose FK506 significantly prolonged renal allograft survival in renal transplant dog model)

RN 195883-09-1 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:464872 CAPLUS

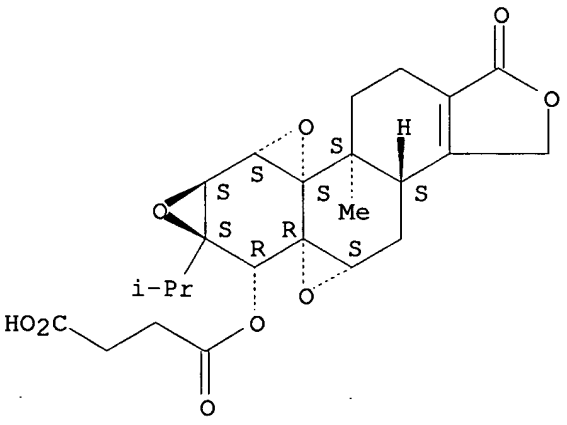
DOCUMENT NUMBER: 143:278756

TITLE: Protective effects of PG490-88 on chronic allograft rejection by changing intragraft gene expression profiles

AUTHOR(S): Fisniku, O.; Pan, F.; Wynn, C.; Erickson, L. M.; Crews, G.; Jang, M. S.; Sudo, Y.; Tamura, K.;

Kobayashi, M.; Benediktsson, H.; Jiang, H.
CORPORATE SOURCE: Basic Research, Fujisawa Research Institute of America, Evanston, IL, USA
SOURCE: Transplantation Proceedings (2005), 37(4), 1962-1964
CODEN: TRPPA8; ISSN: 0041-1345
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Our previous study showed that PG490-88 effectively ameliorated both functional and histol. changes of chronic rejection in the rat. In this experiment, we investigated the intragraft gene expression profiles of PG490-88 under successful prevention of chronic rejection in rat kidney allografts. Kidneys of F344 rats were transplanted into bilaterally nephrectomized LEW recipients. Recipients with a brief course of low-dose FK506 (1 mg/kg per day for 10 days) were dosed with PG490-88 0.5 mg/kg per day, which was predetd. and defined as the ED of preventing chronic allograft rejection in this model, for 90 days after grafting. Kidney grafts were harvested on day 90 after transplantation and subjected to gene expression anal. by real-time RT-PCR. Overall, the expression levels of all genes tested were upregulated in the brief course of low-dose FK506 control. PG490-88 treatment exhibited significant inhibition of intragraft m RNA levels of iNOS, IL-6, and perforin and marginal downregulation of IL-2, IFNγ, IRF-1, TNFα, and TGFβ. There was no change in IL-10, granzyme B, and PDGFα, when compared to the brief course of low-dose FK506 control. These results suggested that downregulation of multiple intragraft gene expression by mainly suppression of iNOS, IL-6, and perforin might be responsible for successful prevention of chronic kidney allograft nephropathy by PG490-88 in rats.
IT **195883-09-1**, PG490-88
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PG490-88 downregulated IL-2, IFNγ, IRF-1, TNFα and TGFβ, inhibited iNOS, IL-6, perforin but did not change IL-10, granzyme B and PDGFα mRNA expression during prevention of chronic rejection in kidney allograft transplant rat model)
RN 195883-09-1 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 31 CAPLUS

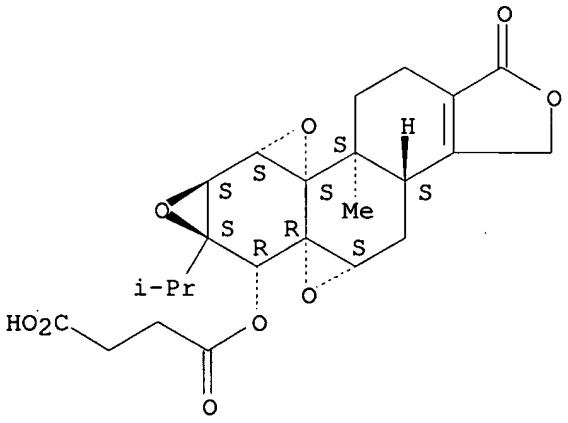
ACCESSION NUMBER: 2005:290291 CAPLUS
DOCUMENT NUMBER: 143:126161
TITLE: PG490-88, a new immunosuppressant, effectively prevents acute and chronic rejection in rat renal allografts
AUTHOR(S): Pan, F.; Fisniku, O.; Wynn, C.; Erickson, L. M.; Crews, G.; Jang, M. S.; Sudo, Y.; Tamura, K.; Kobayashi, M.; Benediktsson, H.; Jiang, H.
CORPORATE SOURCE: Basic Research, Fujisawa Research Institute of America, Evanston Northwestern Healthcare, Northwestern University, Evanston, IL, USA
SOURCE: Transplantation Proceedings (2005), 37(1), 134-136
CODEN: TRPPA8; ISSN: 0041-1345
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PG490-88 is a semisynthetic derivative of the novel compound PG490 (triptolide) purified from a Chinese herb. It has been shown to prolong acute allograft survival in multiple exptl. organ transplant models. However, the effect of PG490-88 on prevention of acute and chronic renal allograft rejection has not been determined Kidneys of ACI or F344 rats were transplanted into bilaterally nephrectomized LEW recipients as the acute or chronic allograft rejection models, resp. Treatment of LEW recipients with PG490-88 significantly prolonged ACI kidney graft survival in a dose-dependent manner when compared with the untreated allograft controls. LEW recipients of F344 kidney grafts who received PG490-88 for 90 days with a brief course of low-dose FK506 showed normal serum creatinine levels and markedly reduced histol. changes of chronic rejection at day 90 after transplantation. These results suggest that PG490-88 significantly prolongs kidney allograft survival in an acute rejection model and prevents chronic allograft rejection in rats.

IT **195883-09-1**, PG490-88
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(PG490-88 dose-dependently prolonged kidney allograft survival, prevented chronic allograft rejection, with FK506 reduced functional, histol. changes of renal rejection and normalized serum creatinine level in acute rejection rat model)

RN 195883-09-1 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS

L8 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:216599 CAPLUS
DOCUMENT NUMBER: 142:291368
TITLE: Method for treatment of severe acute respiratory syndrome (SARS) using triptolide compounds
INVENTOR(S): Fidler, John M.; Leu, Karen S.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020887	A2	20050310	WO 2004-US20447	20040625
WO 2005020887	A3	20050428		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

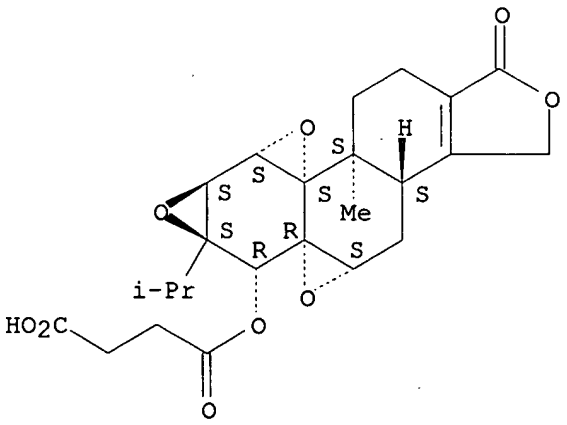
PRIORITY APPLN. INFO.: US 2003-483335P P 20030627

AB The use of triptolide compds. for treatment of SARS infection is disclosed. The compds. are effective to inhibit cytokine production and thereby reduce symptoms, particularly in the immune hyperactive phase of the disease. Triptolide suppressed production of proinflammatory cytokines such as interferon-γ, TNF-α, IL-1β, and IL-6 in activated human peripheral blood mononuclear cells. Triptolide derivs. and prodrugs were synthesized.

IT **195883-06-8D**, salts
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(triptolide compds. for reducing cytokine production and treatment of immune hyperactive phase of severe acute respiratory syndrome)

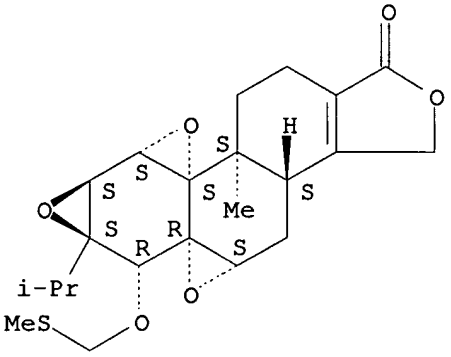
RN 195883-06-8 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



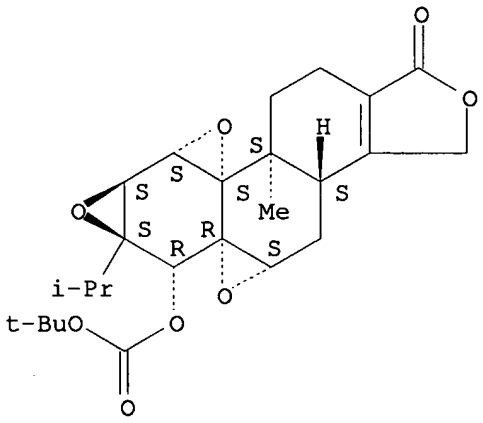
IT **847440-49-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(triptolide compds. for reducing cytokine production and treatment of immune hyperactive phase of severe acute respiratory syndrome)
RN 847440-49-7 CAPLUS
CN Trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one, 3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-[(methylthio)methoxy]-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **630093-07-1P**, PG695
RL: SPN (Synthetic preparation); PREP (Preparation)
(triptolide compds. for reducing cytokine production and treatment of immune hyperactive phase of severe acute respiratory syndrome)
RN 630093-07-1 CAPLUS
CN Carbonic acid, 1,1-dimethylethyl (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:740157 CAPLUS
DOCUMENT NUMBER: 141:248747
TITLE: Remedy for corneal ulcer containing triptolide derivatives
INVENTOR(S): Nishida, Teruo; Nakamura, Yoshikuni
PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075888	A1	20040910	WO 2004-JP2406	20040227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1604661	A1	20051214	EP 2004-715512	20040227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006094775	A1	20060504	US 2005-546916	20050927
PRIORITY APPLN. INFO.:			JP 2003-52072 A	20030227
			WO 2004-JP2406 W	20040227

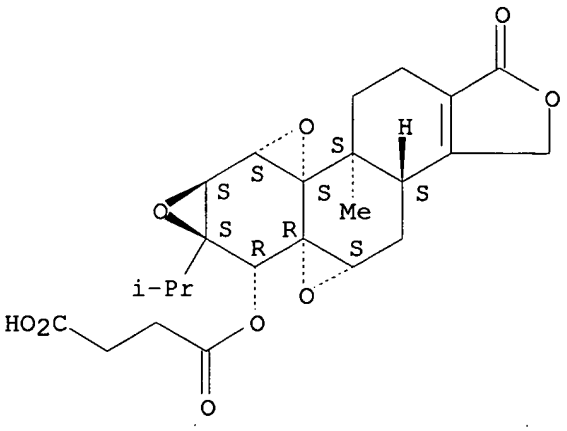
AB It is intended to provide a drug by which corneal ulcer can be effectively treated, more specifically, a remedy for corneal ulcer which contains triptolide, its derivative or a pharmaceutically acceptable salt thereof. The effect of triptolide (PG490) on IL-1β-induced collagen degradation in rabbit corneal parenchymal cells was examined An eye drop composition was prepared from triptolide 3.6 mg, polysorbate 80 0.1, sodium dihydrogen phosphate 0.1, sodium chloride 0.9, benzalkonium chloride 0.005 g, NaOH q.s. to pH = 7, and water balance to 100 mL.

IT **195883-06-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triptolide derivs. for treatment of corneal ulcer)

RN 195883-06-8 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

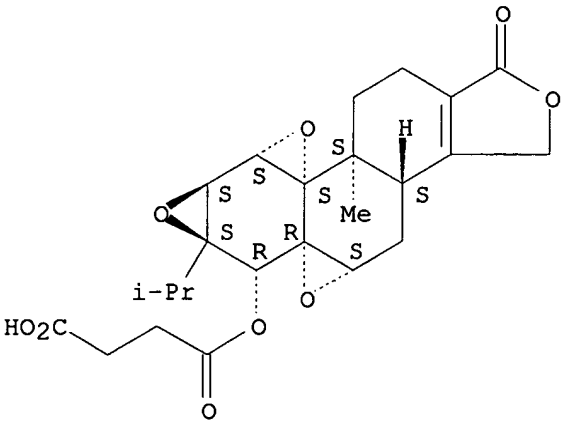


IT **195883-09-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedy for corneal ulcer containing triptolide derivs.)

RN 195883-09-1 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

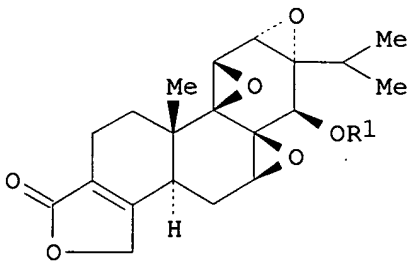
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:267236 CAPLUS
DOCUMENT NUMBER: 140:297500
TITLE: Application of triptolide derivatives with high immunosuppressive effect and high water solubility
INVENTOR(S): Wang, Dayuan; Tan, Hong; Kong, Yan
PATENT ASSIGNEE(S): Farreach Lab., Peop. Rep. China; W & K International, Inc.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

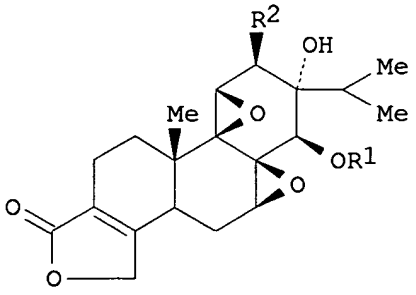
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026298	A1	20040401	WO 2003-CN748	20030904
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1483731	A	20040324	CN 2002-130686	20020918
AU 2003261612	A1	20040408	AU 2003-261612	20030904
EP 1552829	A1	20050713	EP 2003-797149	20030904
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503831	T2	20060202	JP 2004-536777	20030904
US 2006111327	A1	20060525	US 2005-528444	20051024

PRIORITY APPLN. INFO.: CN 2002-130686 A 20020918
WO 2003-CN748 W 20030904

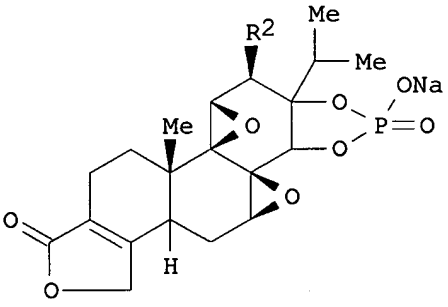
OTHER SOURCE(S): MARPAT 140:297500
GI



I



II



III

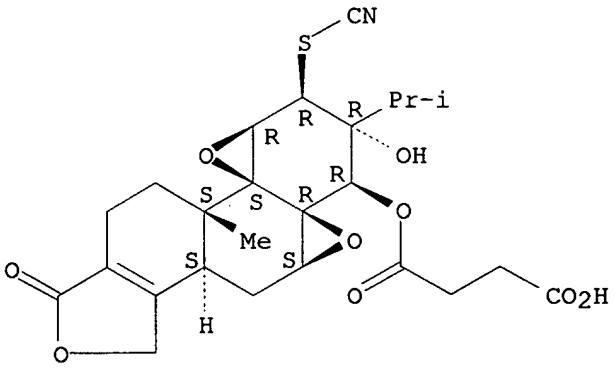
AB The invention provides water-soluble triptolide derivs. of formula I, II, and III, which have high immunosuppressive effect, and in which R1 and R2 have the same meanings as claims, The invention also provides chemical method of the preparation of formula I, II, and III, and uses thereof.

IT **676327-13-2P 676327-14-3P 676327-15-4P 676327-17-6P 676327-19-8P**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(application of triptolide derivs. with high immunosuppressive effect and high water solubility)

RN 676327-13-2 CAPLUS

CN Butanedioic acid, mono[(1aS,1bS,6bS,7aS,8aR,9R,10R,11R,11aR)-1b,2,3,4,6,6b,7,7a,9,10,11,11a-dodecahydro-10-hydroxy-1b-methyl-10-(1-methylethyl)-4-oxo-11-thiocyanatobisoxireno[4b,5:8a,9]phenanthro[1,2-c]furan-9-yl] ester (9CI) (CA INDEX NAME)

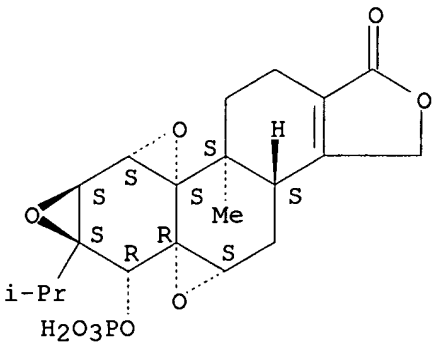
Absolute stereochemistry.



RN 676327-14-3 CAPLUS

CN Trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one, 3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-(phosphonooxy)-, disodium salt, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)- (9CI) (CA INDEX NAME)

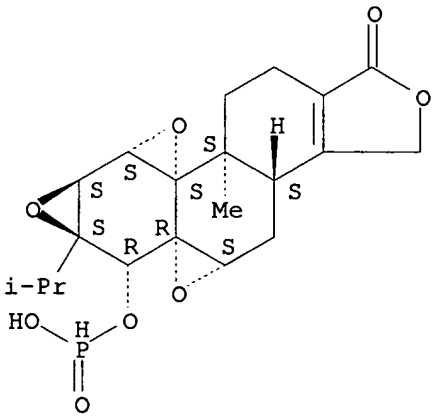
Absolute stereochemistry.



●2 Na

RN 676327-15-4 CAPLUS
CN Phosphonic acid, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester, monosodium salt (9CI) (CA INDEX NAME)

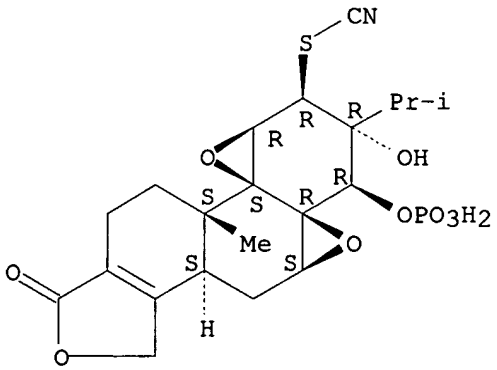
Absolute stereochemistry.



● Na

RN 676327-17-6 CAPLUS
CN Thiocyanic acid, (1aS,1bS,6bS,7aS,8aR,9R,10R,11R,11aR)-1b,2,3,4,6,6b,7,7a,9,10,11,11a-dodecahydro-10-hydroxy-1b-methyl-10-(1-methylethyl)-4-oxo-9-(phosphonooxy)bisoxireno[4b,5:8a,9]phenanthro[1,2-c]furan-11-yl ester, disodium salt (9CI) (CA INDEX NAME)

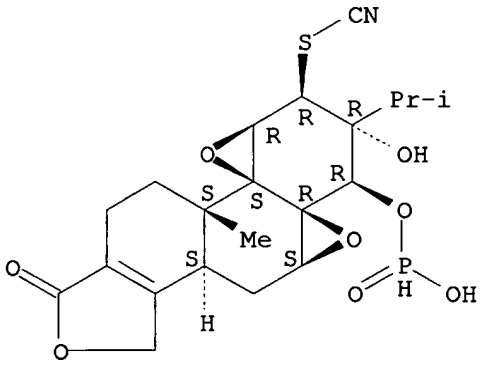
Absolute stereochemistry.



●2 Na

RN 676327-19-8 CAPLUS
CN Thiocyanic acid, (1aS,1bS,6bS,7aS,8aR,9R,10R,11R,11aR)-1b,2,3,4,6,6b,7,7a,9,10,11,11a-dodecahydro-10-hydroxy-9-[(hydroxyphosphinyl)oxy]-1b-methyl-10-(1-methylethyl)-4-oxobisoxireno[4b,5:8a,9]phenanthro[1,2-c]furan-11-yl ester, monosodium salt (9CI) (CA INDEX NAME)

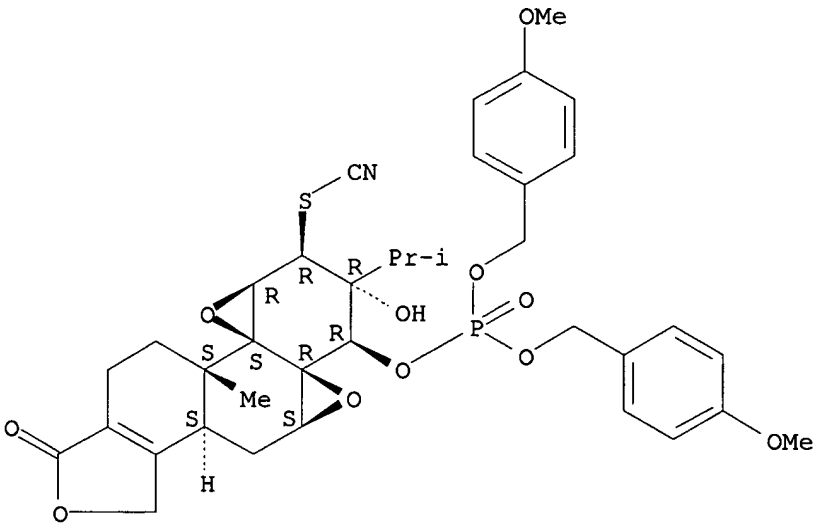
Absolute stereochemistry.



● Na

IT **676327-18-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(application of triptolide derivs. with high immunosuppressive effect and high water solubility)
RN 676327-18-7 CAPLUS
CN Thiocyanic acid, (1aS,1bS,6bS,7aS,8aR,9R,10R,11R,11aR)-9-[[bis[(4-methoxyphenyl)methoxy]phosphinyl]oxy]-1b,2,3,4,6,6b,7,7a,9,10,11,11a-dodecahydro-10-hydroxy-1b-methyl-10-(1-methylethyl)-4-oxobisoxireno[4b,5:8a,9]phenanthro[1,2-c]furan-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

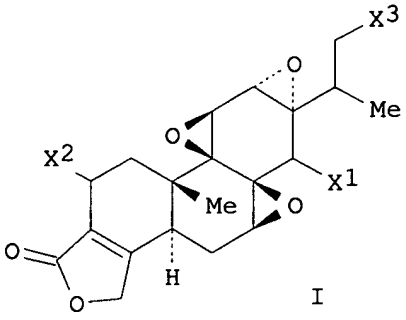


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:972045 CAPLUS
DOCUMENT NUMBER: 140:16834
TITLE: Preparation of triptolide derivatives for the modulation of apoptosis and immunosuppression
INVENTOR(S): Dai, Dongcheng; Musser, John H.; Lennox, Edwin S.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003101951	A2	20031211	WO 2003-US17177		20030529
WO 2003101951	A3	20040506			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2485794	AA	20031211	CA 2003-2485794		20030529
AU 2003243351	A1	20031219	AU 2003-243351		20030529
EP 1511478	A2	20050309	EP 2003-756318		20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005528442	T2	20050922	JP 2004-509645		20030529
US 2004235943	A1	20041125	US 2004-478777		20040624
PRIORITY APPLN. INFO.:			US 2002-384480P	P	20020531
			WO 2003-US17177	W	20030529

OTHER SOURCE(S): MARPAT 140:16834
GI



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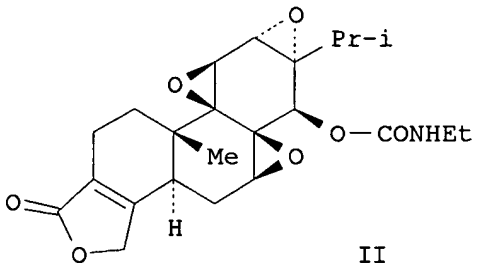


II

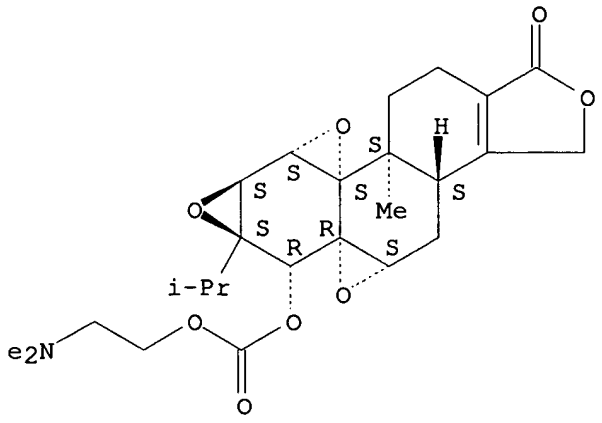
AB Variously substituted carbonate and carbamate derivs. of triptolide of formula I [X1 = OH, OCOR, etc.; X2, X3 = H, (substituted) OH; R = alkoxy, aryloxy, (substituted) amino, etc.] are prepared which have good aqueous solubility and convert to biol. active compds. in vivo, at a rate which can be modulated by varying the substitution on the prodrug. The prodrugs are useful as immunosuppressive, anti-inflammatory and anticancer agents. Thus, II was prepared from triptolide and Et isocyanate. The dose-response data for II show it to have equal apoptotic activity to triptolide at 10-fold higher concentration

IT **629617-20-5P**, PG 682 **629617-23-8P**, PG 687
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of triptolide derivs. as prodrugs useful as immunosuppressive, anti-inflammatory and anticancer agents)

RN 629617-20-5 CAPLUS

CN Carbonic acid, 2-(dimethylamino)ethyl (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

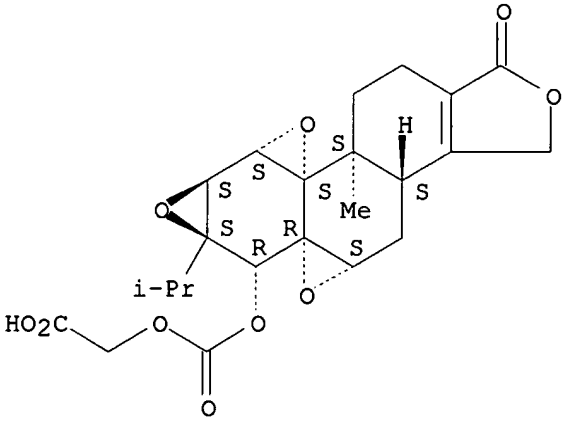
Absolute stereochemistry.



RN 629617-23-8 CAPLUS

CN Acetic acid, [[[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **629617-21-6P 629617-22-7P 629617-24-9P**
630092-99-8P, PG 666 **630093-00-4P**, PG 671
630093-01-5P, PG 688 **630093-02-6P**, PG 674
630093-03-7P, PG 676 **630093-04-8P**, PG 679
630093-05-9P, PG 681 **630093-06-0P**, PG 680
630093-07-1P, PG 695 **630093-08-2P**, PG 672
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triptolide derivs. as prodrugs useful as immunosuppressive, anti-inflammatory and anticancer agents)

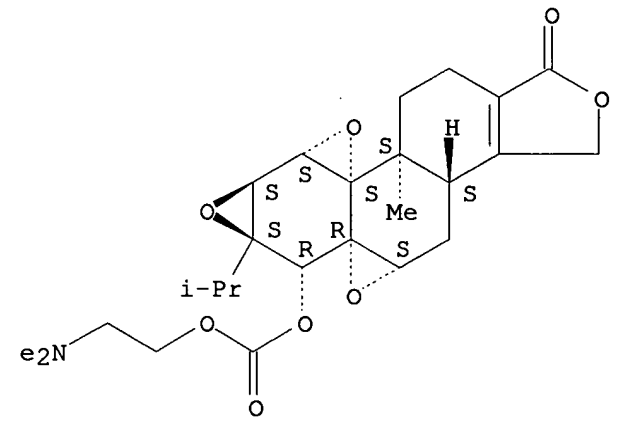
RN 629617-21-6 CAPLUS

CN Carbonic acid, 2-(dimethylamino)ethyl (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

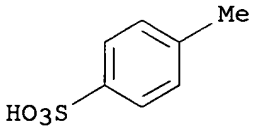
CRN 629617-20-5
CMF C25 H33 N O8

Absolute stereochemistry.



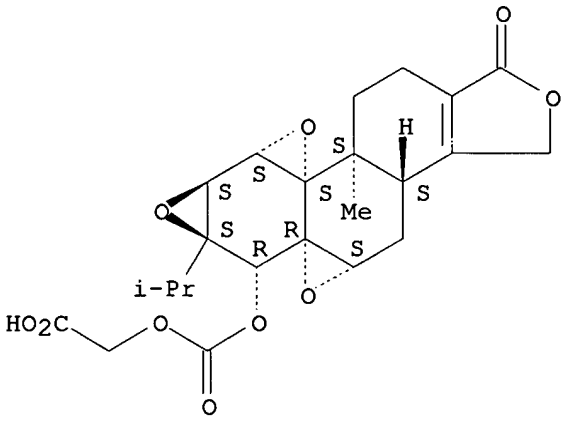
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 629617-22-7 CAPLUS
CN Acetic acid, [[[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]carbonyl]oxy]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



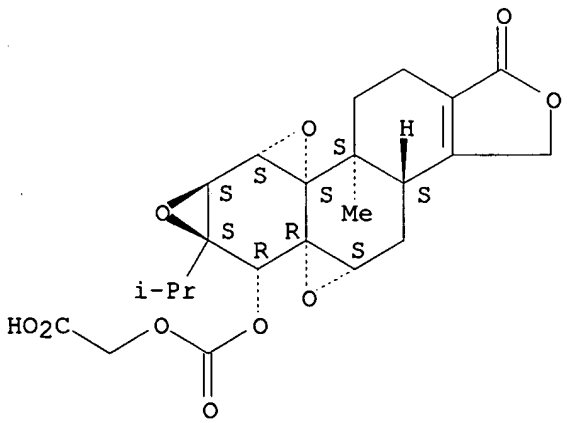
● Na

RN 629617-24-9 CAPLUS
CN Acetic acid, [[[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]carbonyl]oxy]-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

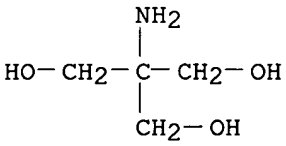
CRN 629617-23-8
CMF C23 H26 O10

Absolute stereochemistry.



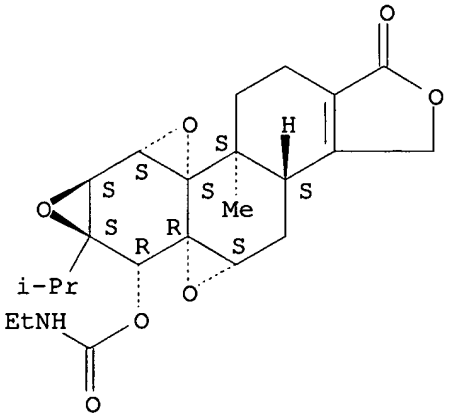
CM 2

CRN 77-86-1
CMF C4 H11 N O3



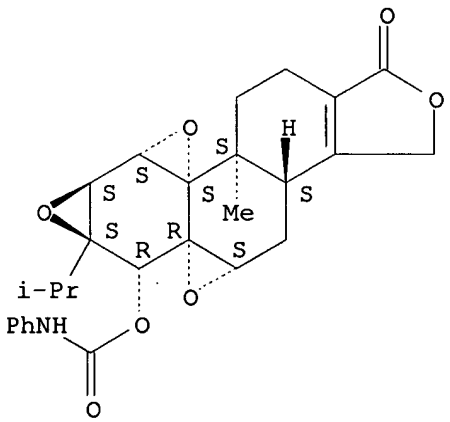
RN 630092-99-8 CAPLUS
CN Carbamic acid, ethyl-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630093-00-4 CAPLUS
CN Trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one, 3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-[[(phenylamino)carbonyl]oxy]-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)- (9CI) (CA INDEX NAME)

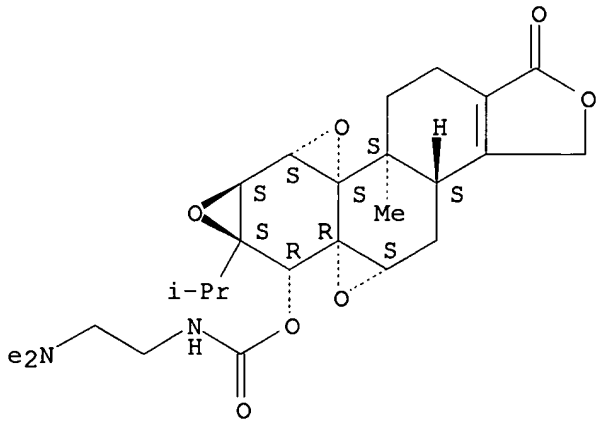
Absolute stereochemistry.



RN 630093-01-5 CAPLUS
CN Carbamic acid, [2-(dimethylamino)ethyl]-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl

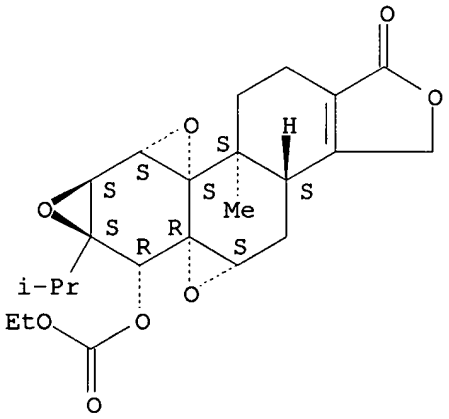
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



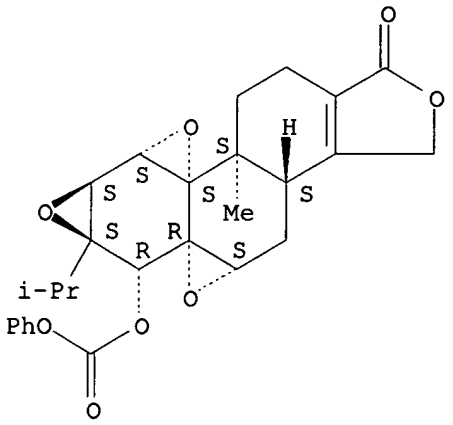
RN 630093-02-6 CAPLUS
CN Carbonic acid, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



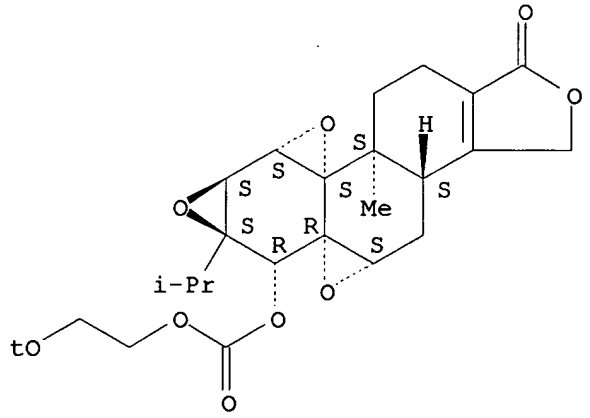
RN 630093-03-7 CAPLUS
CN Carbonic acid, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



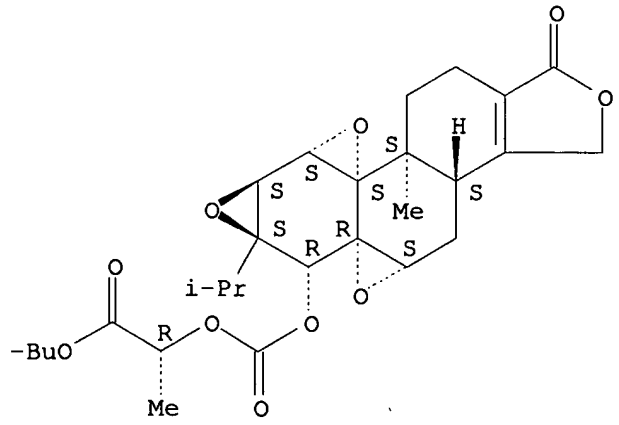
RN 630093-04-8 CAPLUS
CN Carbonic acid, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl 2-ethoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



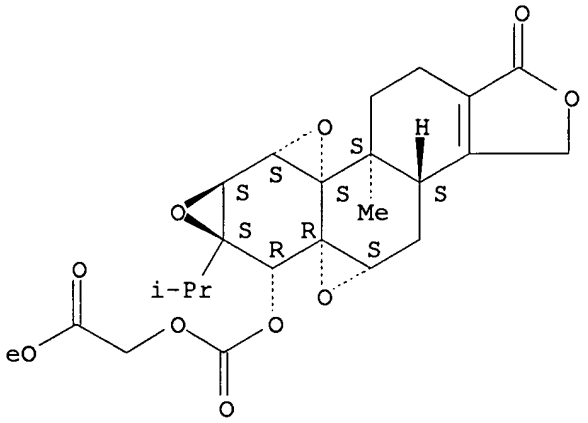
RN 630093-05-9 CAPLUS
CN Propanoic acid, 2-[[[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]carbonyl]oxy]-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



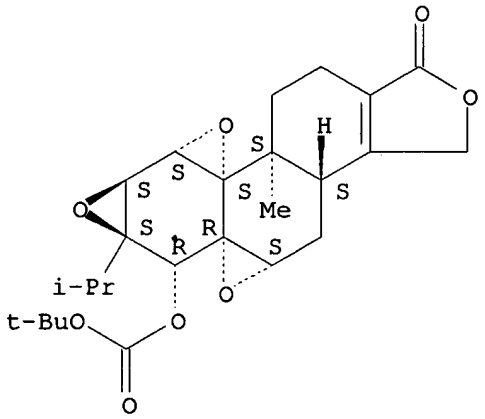
RN 630093-06-0 CAPLUS
CN Acetic acid, [[[[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl]oxy]carbonyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



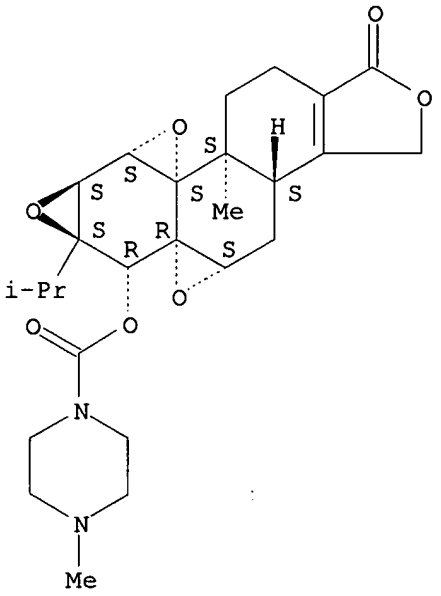
RN 630093-07-1 CAPLUS
CN Carbonic acid, 1,1-dimethylethyl (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630093-08-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:800265 CAPLUS
DOCUMENT NUMBER: 140:156902
TITLE: PG490-88, a derivative of triptolide, causes tumor regression and sensitizes tumors to chemotherapy
AUTHOR(S): Fidler, John M.; Li, Ke; Chung, Cathie; Wei, Ke; Ross, Jessica A.; Gao, Mingxing; Rosen, Glenn D.
CORPORATE SOURCE: Pharmagenesis, Inc., Palo Alto, CA, USA
SOURCE: Molecular Cancer Therapeutics (2003), 2(9), 855-862
CODEN: MCTOCF; ISSN: 1535-7163
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

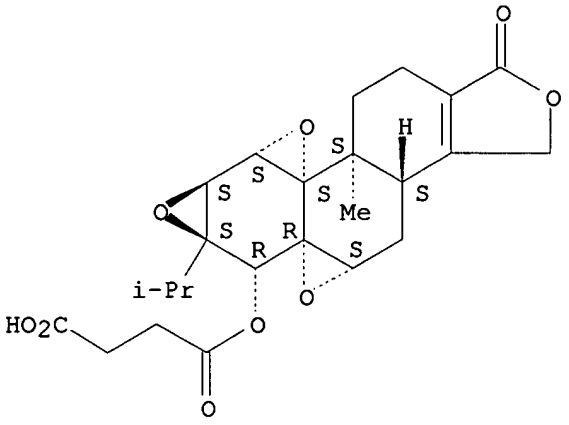
AB Treatment of solid tumors with combinations of chemotherapeutic agents has not led to significant increases in long-term survival. Recent studies support a role for inhibitors of checkpoint arrest as a means to enhance the cytotoxicity of chemotherapy. The authors have shown previously that triptolide (PG490), an oxygenated diterpene derived from a Chinese medicinal plant, induces apoptosis in cultured tumor cells and sensitizes tumor cells to topoisomerase inhibitors by blocking p53-mediated induction of p21. Here the authors extend the authors' studies to a tumor xenograft model and evaluate the efficacy and safety of PG490-88 (14-succinyl triptolide sodium salt), a water-soluble prodrug of PG490. The authors also look at the combination of PG490 or PG490-88 with CPT-11, a topoisomerase I inhibitor, in cultured cells and in the tumor xenograft model. The authors show that PG490-88 is a safe and potent antitumor agent when used alone, causing tumor regression of lung and colon tumor xenografts. The authors also show that PG490-88 acts in synergy with CPT-11 to cause tumor regression. A phase I trial of PG490-88 for solid tumors began recently and safety and optimal dosing data should accrue within the next 12 mo. The authors' findings that PG490-88 causes tumor regression and that it acts in synergy with DNA-damaging chemotherapeutic agents suggest a role as an antineoplastic agent and chemosensitizer for the treatment of patients with solid tumors.

IT **195883-09-1**, PG490-88
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PG490-88 causes tumor regression and sensitizes tumors to chemotherapy)

RN 195883-09-1 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium

salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

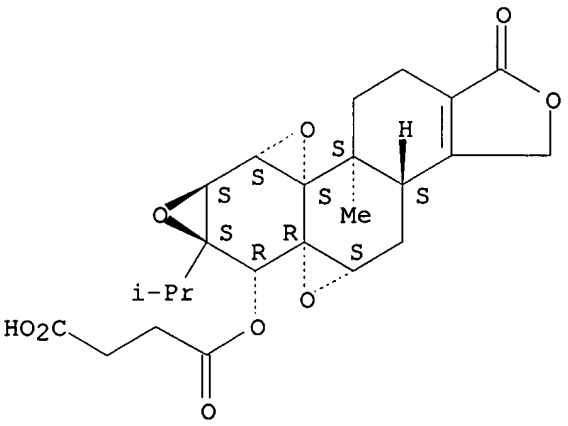
L8 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:585487 CAPLUS
DOCUMENT NUMBER: 139:128003
TITLE: Uses of diterpenoid triepoxides as an anti-proliferative agent
INVENTOR(S): Rosen, Glenn D.; Lennox, Edwin S.; Musser, John H.
PATENT ASSIGNEE(S): The Board of Trustees of the Leland Stanford Junior University, USA; Pharmagenesis, Inc.
SOURCE: U.S., 27 pp., Cont.-in-part of U.S. 6,294,546.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6599499	B1	20030729	US 2001-935794	20010822
US 6294546	B1	20010925	US 1999-385917	19990830
US 2002016362	A1	20020207	US 2001-884898	20010619
US 6537984	B2	20030325		
US 2003139439	A1	20030724	US 2003-340101	20030110
US 6949510	B2	20050927		
US 2003206861	A1	20031106	US 2003-446241	20030527

PRIORITY APPLN. INFO.: US 1999-385917 A2 19990830
US 2001-884898 A3 20010619
US 2001-935794 A3 20010822
OTHER SOURCE(S): MARPAT 139:128003
AB Combinations of diterpenoid triepoxides and anti-proliferative agents are used in a combination therapy to treat hyperproliferative disorders. Anti-proliferative agents of interest include agents active in killing tumor cells, as well as immunosuppressants, and a variety of other agents that reduce cellular proliferation in targeted tissues. Synergistic combinations provide for comparable or improved therapeutic effects, while lowering adverse side effects.
IT **195883-09-1**, PG490-88
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(uses of diterpenoid triepoxides as antitumor agents)
RN 195883-09-1 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

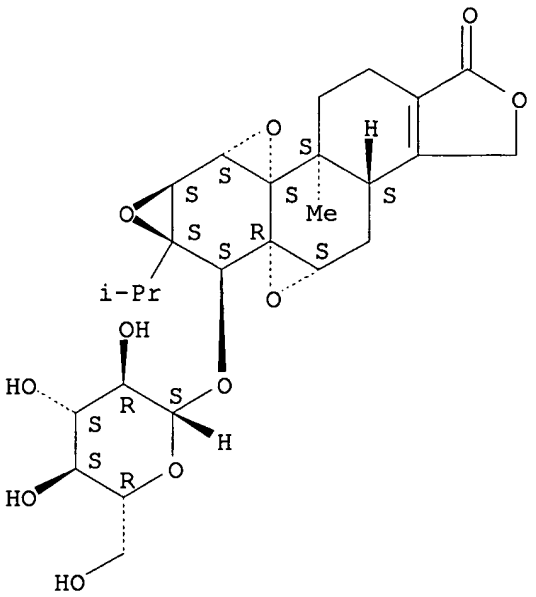
L8 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:321361 CAPLUS
DOCUMENT NUMBER: 139:148528
TITLE: Biotransformation of triptonide by cell suspension cultures of Platycodon grandiflorum
AUTHOR(S): Ning, Lili; Guo, Hongzhu; Jiang, Xiaomei; Bi, Kaishun; Guo, Dean
CORPORATE SOURCE: The State Key Laboratory of Natural and Biomimetic Drugs, School of Pharmaceutical Sciences, Peking University, Beijing, 100083, Peop. Rep. China
SOURCE: Pure and Applied Chemistry (2003), 75(2-3), 389-392
CODEN: PACHAS; ISSN: 0033-4545
PUBLISHER: International Union of Pure and Applied Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:148528

AB The biotransformation of triptonide by cell suspension cultures of Platycodon grandiflorum was investigated. After six days of incubation, five products were obtained. On the basis of chemical and spectral evidence, their structures were elucidated as epitriptolide-14-O-β-D-glucoside, 5α-hydroxytriptonide, triptolide, triptodiolide, and 2β-hydroxytriptonide, among which epitriptolide-14-O-β-D-glucoside and 5α-hydroxytriptonide are new compds.

IT **571176-86-8P**, Epitriptolide-14-O-β-D-glucoside
RL: BPN (Biosynthetic preparation); PRP (Properties); BIOL (Biological study); PREP (Preparation)
(biotransformation of triptonide by cell suspension cultures of Platycodon grandiflorum)

RN 571176-86-8 CAPLUS
CN Trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one, 6-(β-D-glucopyranosyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-, (3bS,4aS,5aR,6S,6aS,7aS,7bS,8aS,8bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:739048 CAPLUS
DOCUMENT NUMBER: 138:395668
TITLE: Immunosuppressive activity of the Chinese medicinal plant Tripterygium wilfordii. III. Suppression of graft-versus-host disease in murine allogeneic bone marrow transplantation by the PG27 extract
AUTHOR(S): Fidler, John M.; Ku, Geoffrey Y.; Piazza, Duane; Xu, Rensheng; Jin, Renling; Chen, Zhenqing
CORPORATE SOURCE: Pharmagenesis, Inc., Palo Alto, CA, 94304., USA
SOURCE: Transplantation (2002), 74(4), 445-457
CODEN: TRPLAU; ISSN: 0041-1337
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PG27 is an active fraction purified from an extract of a Chinese medicinal plant, Tripterygium wilfordii. We tested PG27 in murine allogeneic bone marrow transplantation (BMT) and investigated the mechanism of graft-vs.-host disease (GVHD) suppression. Recipients in the C57BL/6 → BDF1 murine BMT model received oral or i.p. PG27. Fourteen days of PG27 given orally or i.p. prevented GVHD development and produced extended disease-free survival (more than 300 days) for many animals. PG490-88, a semisynthetic derivative of PG490 (triptolide, present in PG27), was also efficacious. PG27 reduced day 7 splenic allospecific cytotoxic T lymphocyte levels by more than 99% compared with vehicle-treated mice. Compared with normals, spleens from control allogeneic BMT mice displayed significantly reduced mononuclear cell content, an increased percentage of CD8+ cells, fewer CD4+ cells, and more activated ([interleukin-2 receptor+], IL-2R+) CD8+ T cells. PG27 increased mononuclear cell recovery, and significantly reduced the day-14 percentages of CD3+ and IL-2R+ cells in allogeneic BMT mice, producing results similar to those for syngeneic BMT mice. PG27 significantly increased Con A-stimulated in vitro IL-4 production by day-14 splenocytes, with a 7- to 8-fold higher level than that produced by control cells. PG27 treatment for only 14 days prevented GVHD induction and development and produced long-term survival. PG27 largely normalized splenic T lymphocyte subsets, reduced allospecific cytotoxic T lymphocyte activity, and increased IL-4 production capability. PG27 may suppress GVHD by the induction of anergy and a deviation away from a pro-inflammatory phenotype, which could be reflected in the increased potential for IL-4 production

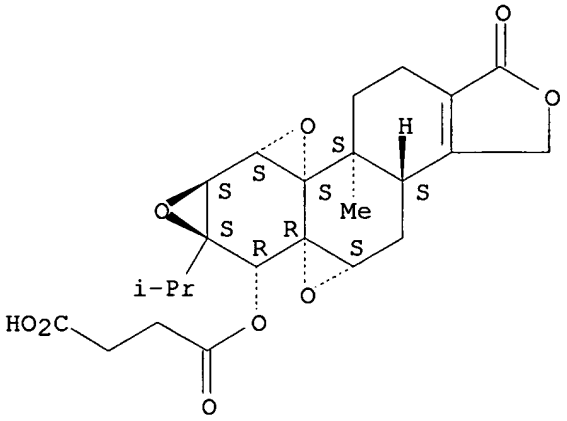
IT **195883-09-1**, PG 490-88

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immunosuppressive activity of the Chinese medicinal plant Tripterygium wilfordii PG27 extract in graft-vs.-host disease in murine allogeneic bone marrow transplantation)

RN 195883-09-1 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:736245 CAPLUS
DOCUMENT NUMBER: 137:247924
TITLE: Preparation of amino acid derivatives of triptolide compounds as immune modulators and anticancer agents
INVENTOR(S): Dai, Dongcheng; Fidler, John M.; Musser, John H.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

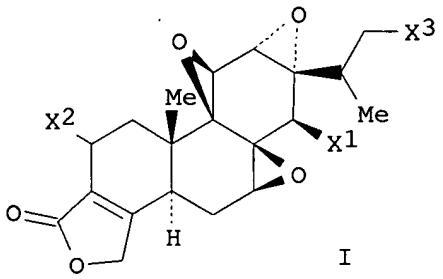
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074759	A1	20020926	WO 2002-US7834	20020314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448795	AA	20020926	CA 2002-2448795	20020314
US 2002193419	A1	20021219	US 2002-98009	20020314
US 6569893	B2	20030527		
EP 1390358	A1	20040225	EP 2002-709834	20020314

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2001-276617P P 20010315
WO 2002-US7834 W 20020314

OTHER SOURCE(S): MARPAT 137:247924

GI



I

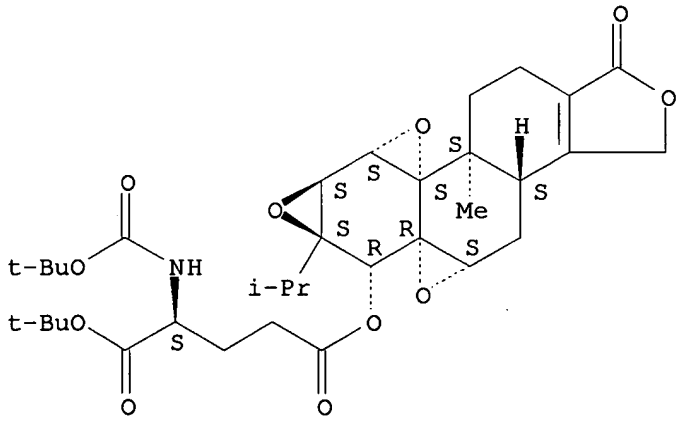
AB Compds. which are prodrugs of triptolide or its derivs., containing an amino acid or oligopeptide moiety, are used for anticancer or immunosuppressive treatment. The compds. have the structure I [X1 = OH or OR1; X2, X3 = OH, OR1, or H (with the proviso that at least one of X1, X2, and X3 is OR1 and at least one of X2 and X3 is H); R1 is the residue of certain amino acids or peptides or their protected derivs.]. Thus, esterification of triptolide with Boc-L-Glu-OBu-t (Boc = tert-butoxycarbonyl) was carried out in the presence of DCC/DMAP. The ester Boc-L-Glu(OR)-OBu-t (ROH is triptolide) showed EC50 = 750 mM in the TdT apoptosis assay. Deprotected derivative H-L-Glu(OR)-OH showed EC50 = 34,661 and 330 nM, resp., in the annexin apoptosis and IL-2 inhibition assays.

IT **461384-87-2P**, PG 658
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino acid derivs. of triptolide compds. as immune modulators and anticancer agents)

RN 461384-87-2 CAPLUS

CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-(1,1-dimethylethyl) 5-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



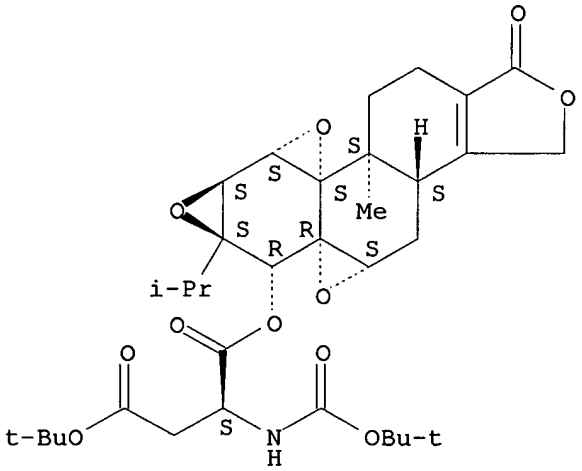
IT **460732-26-7P**, PG 664 **461384-88-3P**, PG 660
461384-89-4P, PG 657 **461384-90-7P**, PG 659
461384-91-8P, PG 661
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of amino acid derivs. of triptolide compds. as immune modulators and anticancer agents)

RN 460732-26-7 CAPLUS

CN L-Aspartic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 4-(1,1-dimethylethyl) 1-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA INDEX NAME)

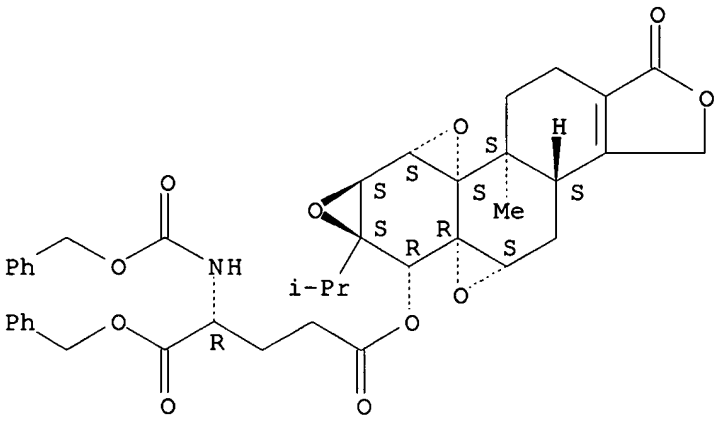
Absolute stereochemistry.



RN 461384-88-3 CAPLUS

CN D-Glutamic acid, N-[(phenylmethoxy)carbonyl]-, 5-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

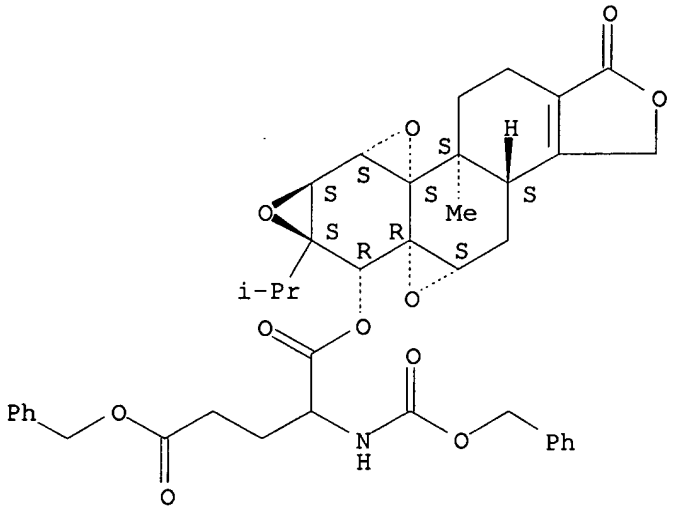
Absolute stereochemistry.



RN 461384-89-4 CAPLUS

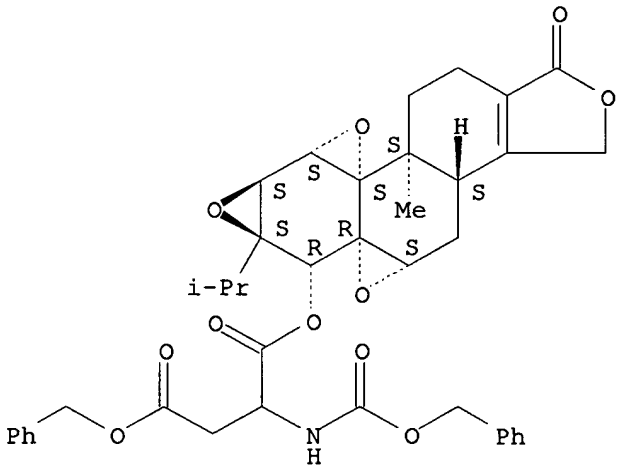
CN Glutamic acid, N-[(phenylmethoxy)carbonyl]-, 1-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] 5-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



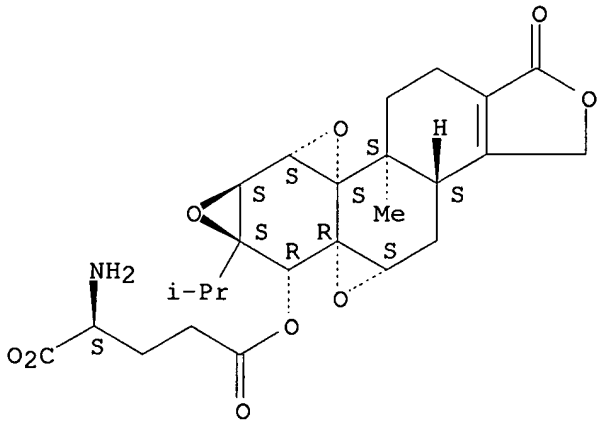
RN 461384-90-7 CAPLUS
CN Aspartic acid, N-[(phenylmethoxy)carbonyl]-, 1-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] 4-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 461384-91-8 CAPLUS
CN L-Glutamic acid, 5-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



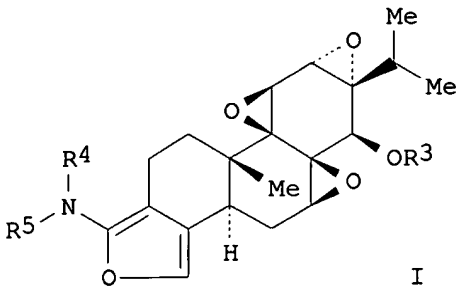
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:695942 CAPLUS
DOCUMENT NUMBER: 137:232787
TITLE: Preparation of triptolide prodrugs having high aqueous solubility
INVENTOR(S): Dai, Dongcheng; Yuan, Hongwei; Musser, John H.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

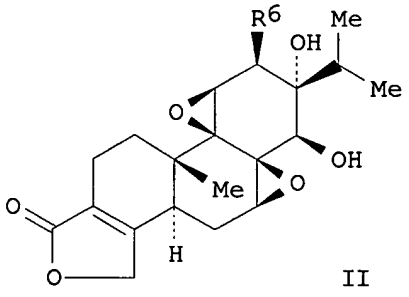
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070472	A2	20020912	WO 2002-US6081	20020301
WO 2002070472	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6548537	B1	20030415	US 2001-798319	20010302
CA 2448775	AA	20020912	CA 2002-2448775	20020301
AU 2002258426	A1	20020919	AU 2002-258426	20020301
EP 1408957	A2	20040421	EP 2002-728370	20020301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:		
US 2001-798319	A1	20010302
US 1998-98809P	P	19980902
WO 1999-US20150	A2	19990902
WO 2002-US6081	W	20020301

OTHER SOURCE(S): MARPAT 137:232787
GI



I



II

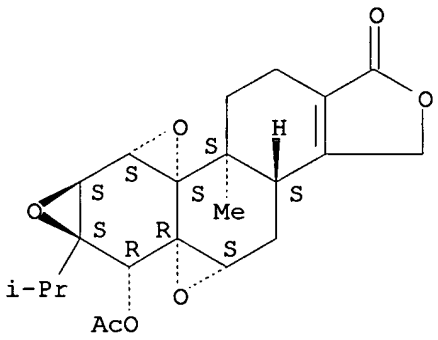
AB Triptolide prodrugs, such as I [R3 = H, acyl; R4, R5 = alkyl; NR4R5 = nitrogen bound heterocyclyl, such as 4-morpholinyl] and II [R6 = OCOCF3, OCOCCl3, OC(:NH)CCl3, arylsulfonyloxy, heteroarylsufonyloxy, etc.], were prepared for therapeutic use as immunosuppressive, anti-inflammatory and anticancer agents. These triptolide analogs have improved water solubility, generally lower toxicity and improved pharmacokinetics compared to the parent compound Thus, PG 700 II (R = OSO2C6H4-4-Me) was prepared by reaction of ClSO2C6H4-4-Me with the corresponding triol, PG 673 II (R = OH), using DMAP in pyridine. Pharmaceutical formulations and dosages of the prepared triptolide derivs. were presented.

IT **457914-14-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of triptolide prodrugs having high aqueous solubility for use as immunosuppressive, anti-inflammatory and antitumor agents)

RN 457914-14-6 CAPLUS

CN Trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one, 6-(acetyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

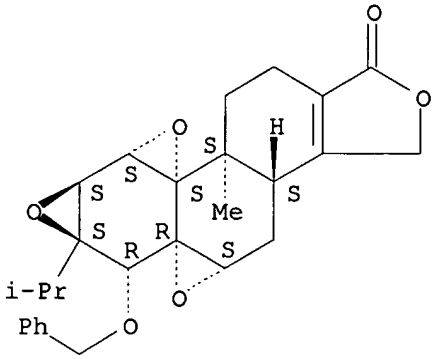


IT **260246-85-3P 260246-86-4P 260246-92-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triptolide prodrugs having high aqueous solubility for use as immunosuppressive, anti-inflammatory and antitumor agents)

RN 260246-85-3 CAPLUS

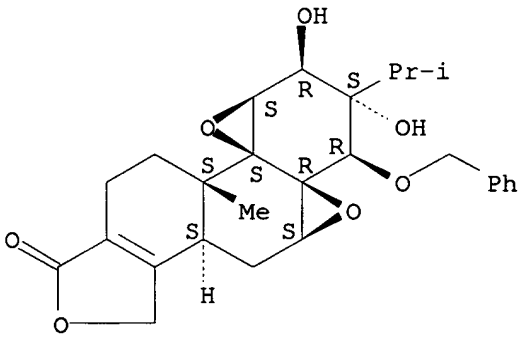
CN Trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one, 3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-(phenylmethoxy)-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



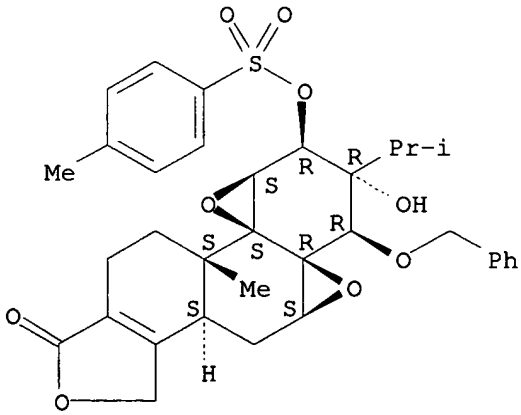
RN 260246-86-4 CAPLUS
CN Bisoxireno[4b,5:8a,9]phenanthro[1,2-c]furan-4(2H)-one, 1b,3,6,6b,7,7a,9,10,11,11a-decahydro-10,11-dihydroxy-1b-methyl-10-(1-methylethyl)-9-(phenylmethoxy)-, (1aS,1bS,6bS,7aS,8aR,9R,10S,11R,11aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 260246-92-2 CAPLUS
CN Bisoxireno[4b,5:8a,9]phenanthro[1,2-c]furan-4(2H)-one, 1b,3,6,6b,7,7a,9,10,11,11a-decahydro-10-hydroxy-1b-methyl-10-(1-methylethyl)-11-[[(4-methylphenyl)sulfonyl]oxy]-9-(phenylmethoxy)-, (1aS,1bS,6bS,7aS,8aR,9R,10R,11R,11aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:682242 CAPLUS
DOCUMENT NUMBER: 138:226476
TITLE: Antiproliferative and proapoptotic activities of

triptolide (PG490), a natural product entering clinical trials, on primary cultures of human prostatic epithelial cells

AUTHOR(S): Kiviharju, Taija M.; Lecane, Philip S.; Sellers, Robert G.; Peehl, Donna M.

CORPORATE SOURCE: Department of Urology, Stanford University School of Medicine, Stanford, CA, 94305, USA

SOURCE: Clinical Cancer Research (2002), 8(8), 2666-2674
CODEN: CCREF4; ISSN: 1078-0432

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

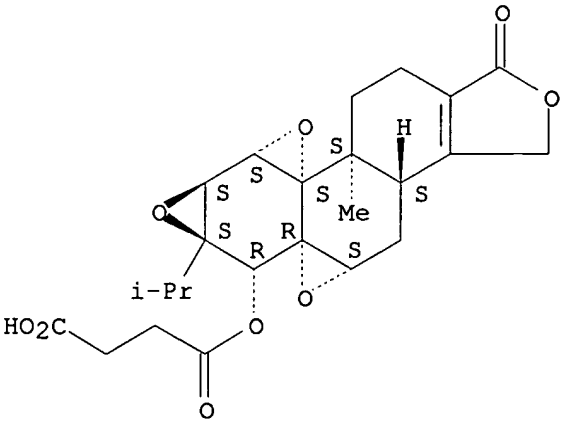
AB Interest in exploiting traditional medicines for prevention or treatment of cancer is increasing. Exts. from the herb Tripterygium wilfordii hook F were used in China for centuries to treat immune-related disorders. Recently it was reported that triptolide (PG490), a purified compound from Tripterygium, possessed antitumor properties and induced apoptosis by p53-independent mechanisms in a variety of malignant cell lines. This property of triptolide attracted the authors' attention because the authors have found that primary cultures of human prostatic epithelial cells derived from normal tissues and adenocarcinomas are in general extremely resistant to apoptosis. Furthermore, the function of wild-type p53 is impaired in these cells such that drugs that require p53 activity to induce cell death are ineffective. Therefore, the properties of triptolide and the recent approval of its water-soluble form (PG490-88) for entry into Phase I clin. trials suggested that this drug was a promising candidate to test for antitumor activity against prostate cells. Expts. presented here demonstrated that triptolide had dose-dependent effects on both normal and cancer-derived primary cultures of human prostatic epithelial cells. Low concns. of triptolide inhibited cell proliferation and induced a senescence-like phenotype. Higher concns. of triptolide induced apoptosis that was unexpectedly associated with nuclear accumulation of p53. Paradoxically, levels of the p53 target genes, p21WAF1/CIP1 and hdm-2, were reduced, as was bcl-2. The authors' preclin. studies suggest that triptolide might be an effective preventive as well as therapeutic agent against prostate cancer and that triptolide may activate a functional p53 pathway in prostate cells.

IT **195883-09-1**, PG490-88
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiproliferative and proapoptotic activities of triptolide on prostatic epithelial cells)

RN 195883-09-1 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:556111 CAPLUS
DOCUMENT NUMBER: 137:103878
TITLE: Anticancer treatment using triptolide prodrugs
INVENTOR(S): Fidler, John M.; Li, Ke
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099051	A1	20020725	US 2001-766156	20010119
US 6620843	B2	20030916		
CA 2435322	AA	20020725	CA 2002-2435322	20020118
WO 2002056835	A2	20020725	WO 2002-US1650	20020118
WO 2002056835	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1359909	A2	20031112	EP 2002-704187	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004517882	T2	20040617	JP 2002-557346	20020118

PRIORITY APPLN. INFO.: US 2001-766156 A 20010119
WO 2002-US1650 W 20020118

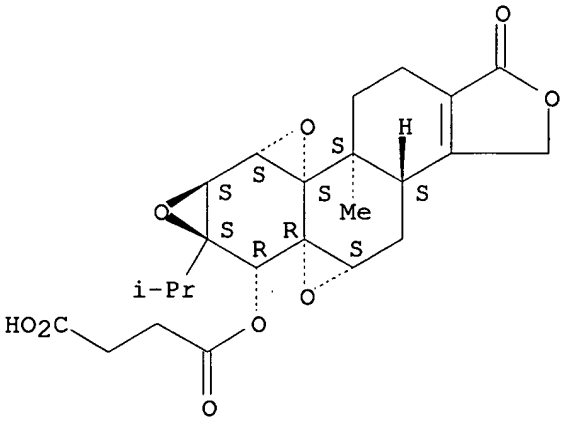
OTHER SOURCE(S): MARPAT 137:103878

AB Water soluble triptolide prodrugs are used as anticancer agents, and are found to be more effective in vivo, at lower doses, in reducing tumor size than the widely used chemotherapeutic agents 5-fluorouracil and irinotecan. Compds. of the invention include e.g. triptolide 14-succinate.

IT **195883-09-1**

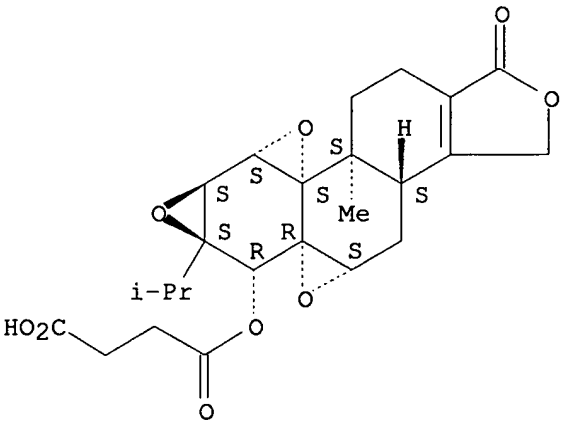
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(triptolide prodrugs for anticancer treatment)
RN 195883-09-1 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:304377 CAPLUS
DOCUMENT NUMBER: 138:49188
TITLE: Immunosuppressive and antiinflammatory effects of triptolide and its prodrug PG-490-88
AUTHOR(S): Chen, Benny J.; Chao, Nelson J.
CORPORATE SOURCE: Bone Marrow Transplantation Program, Duke University Medical Center, Durham, NC, 27705, USA
SOURCE: Drugs of the Future (2002), 27(1), 57-60
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review summarizes the updated data from studies using purified triptolide and its prodrug PG-490-88. Triptolide is a diterpenoid triepoxide purified from Tripterygium wilfordii Hook F, an herb found in China. Triptolide inhibits T cell activation mainly through inhibition of interleukin-2 production Triptolide induces apoptosis of T cells by activating the caspase cascade. It can suppress the expression of multiple proinflammatory cytokines and mediators, which play important roles in the pathogenesis of autoimmune diseases, transplantation rejection and GVHD.
IT **195883-09-1**, PG-490-88
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immunosuppressive and antiinflammatory effects of triptolide and its prodrug PG-490-88)
RN 195883-09-1 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:128582 CAPLUS
DOCUMENT NUMBER: 137:179560
TITLE: Mechanisms of tolerance induced by PG490-88 in a bone marrow transplantation model
AUTHOR(S): Chen, Benny J.; Chen, Yanfei; Cui, Xiuyu; Fidler, John M.; Chao, Nelson J.
CORPORATE SOURCE: Bone Marrow Transplantation Program, Duke University Medical Center, Durham, NC, 27705, USA
SOURCE: Transplantation (2002), 73(1), 115-121
CODEN: TRPLAU; ISSN: 0041-1337
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PG490-88, a semisynthetic derivative of a novel compound PG490 (triptolide) purified from a Chinese herb (Tripterygium wilfordii Hook F), is effective in prevention of murine graft-vs.-host disease (GVHD). PG490-88 was administrated into recipients in a model (B10.D2 [H2d, Mls-2b, Mls-3b]→BALB/c [H2d, Mls-2a, Mls-3a]) of lethal GVHD. Tolerance was evaluated by transplantation of neonatal hearts. The mechanisms of tolerance were studied. Host-specific tolerance was established in PG490-88-treated BALB/c recipients. Significant nos. of host reactive Vβ3+ T cells (3.56±1.66% among CD4, 4.06±1.62% among CD8, $P<0.0001$ vs. normal BALB/c mice, $P>0.05$ vs. normal B10.D2 mice) were present in PG490-88-treated mice, suggesting that clonal deletion was not responsible for the observed tolerance. Spleen cells from PG490-88-treated mice could not respond to the host antigens measured by a popliteal lymph node weight gain assay. The unresponsiveness was unable to be overcome by supplementation of exogenous interleukin (IL)-2. Tolerant Vβ3+ T cells obtained from PG490-88-treated mice proliferated normally to nonantigen-specific T cell receptor crosslinking. Neither antigen-specific nor nonantigen-specific suppressor cells were found in PG490-88-treated mice. The tolerant mice produced IL-4 rather than IL-2 and interferon (IFN)-γ. Host-specific tolerance induced by PG490-88 in a murine bone marrow transplantation model is not due to deletion of alloreactive cells. Moreover, suppressor cells are not involved in the maintenance of tolerance. Rather, PG490-88 seems to lead to allogeneic tolerance either through the induction of a state of antigen-specific anergy of the responding T cells or through the induction of T-helper cell, type II (TH2) responses.

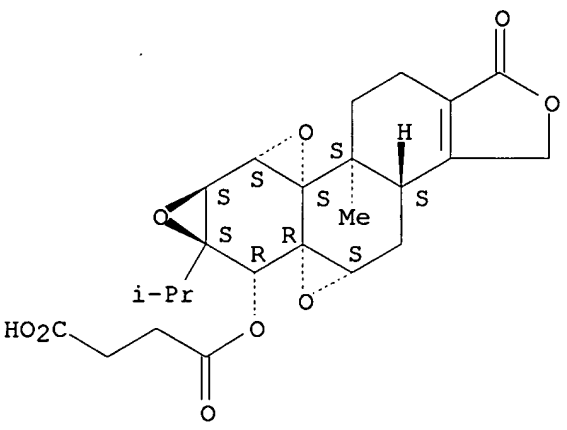
IT **195883-09-1**, PG490-88
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mechanisms of tolerance induced by PG490-88 in a bone marrow

transplantation model)

RN 195883-09-1 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:237498 CAPLUS

DOCUMENT NUMBER: 135:175040

TITLE: PG490-88, a derivative of triptolide, blocks bleomycin-induced lung fibrosis

AUTHOR(S): Krishna, Ganesh; Liu, Kela; Shigemitsu, Hidenobu; Gao, Mingxing; Raffin, Thomas A.; Rosen, Glenn D.

CORPORATE SOURCE: Division of Pulmonary and Critical Care Medicine, Stanford University School of Medicine, Stanford, CA, 94305-5236, USA

SOURCE: American Journal of Pathology (2001), 158(3), 997-1004
CODEN: AJPAA4; ISSN: 0002-9440

PUBLISHER: American Society for Investigative Pathology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In this study we evaluate the antifibrotic properties of PG-490-88, a water-soluble derivative of triptolide. Triptolide is an oxygenated diterpene that is derived from a traditional Chinese herb that has potent immunosuppressive and antitumor activity. We used the intratracheal bleomycin mouse model and found that PG490-88 inhibits fibrosis in the bleomycin group when given the same day or 5 days after bleomycin. PG490-88 also markedly reduced the number of myofibroblasts in the bleomycin treatment group. An ELISA of transforming growth factor (TGF)-β in the bronchoalveolar lavage fluid showed a significant decrease in TGF-β in the PG490-88-treated groups compared to the bleomycin-treated group. Addnl., triptolide blocked bleomycin-induced increase in TGF-β mRNA in cultured normal human lung fibroblasts. The efficacy of PG490-88 when administered late after bleomycin installation suggests a potential role in the treatment of idiopathic pulmonary fibrosis.

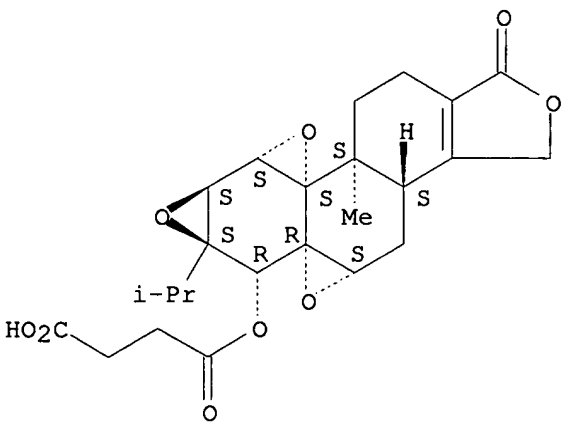
IT **195883-09-1**, PG490-88
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PG490-88, a derivative of triptolide, blocks bleomycin-induced lung

fibrosis and TGF-β expression)

RN 195883-09-1 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:167816 CAPLUS

DOCUMENT NUMBER: 134:217182

TITLE: Uses of diterpenoid triepoxides as an anti-proliferative agent

INVENTOR(S): Rosen, Glenn D.; Lennox, Edwin S.; Musser, John H.

PATENT ASSIGNEE(S): Board of Trustees of the Leland Stanford Junior University, USA; Pharmagenesis

SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001015707	A1	20010308	WO 2000-US23881	20000830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6294546	B1	20010925	US 1999-385917	19990830
CA 2382427	AA	20010308	CA 2000-2382427	20000830
EP 1212067	A1	20020612	EP 2000-959653	20000830
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003508443	T2	20030304	JP 2001-519921	20000830
AU 773159	B2	20040520	AU 2000-70937	20000830
US 2002016362	A1	20020207	US 2001-884898	20010619

US 6537984	B2	20030325			
US 2003139439	A1	20030724	US 2003-340101		20030110
US 6949510	B2	20050927			
PRIORITY APPLN. INFO.:			US 1999-385917	A	19990830
			WO 2000-US23881	W	20000830
			US 2001-884898	A3	20010619

OTHER SOURCE(S): MARPAT 134:217182

AB Combinations of diterpenoid triepoxides and anti-proliferative agents are used in a combination therapy to treat hyperproliferative disorders. Anti-proliferative agents of interest include agents active in killing tumor cells, as well as immunosuppressants, and a variety of other agents that reduce cellular proliferation in targeted tissues. Synergistic combinations provide for comparable or improved therapeutic effects, while lowering adverse side effects. H23 tumor cells were implanted intradermally in nude mice and the animals were left untreated or were injected IP daily with PG490-88 (14-succinyl triptolide sodium salt, a prodrug of triptolide) starting at the time of implantation. Tumors arose in 5/5 of the untreated mice but no tumors were observed after 5 or 7 wk of dosing with PG490-88 at doses ranging from 0.25 to 0.75 mg/kg/day. The tumoricidal activity of PG490-88 was enhanced by treatment with chemotherapeutic agents such as taxol.

IT **195883-09-1**

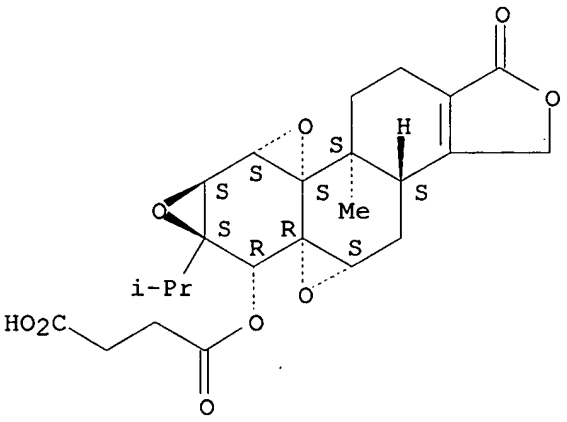
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(diterpenoid triepoxides as anti-proliferative agents)

RN 195883-09-1 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

IT **195883-06-8**

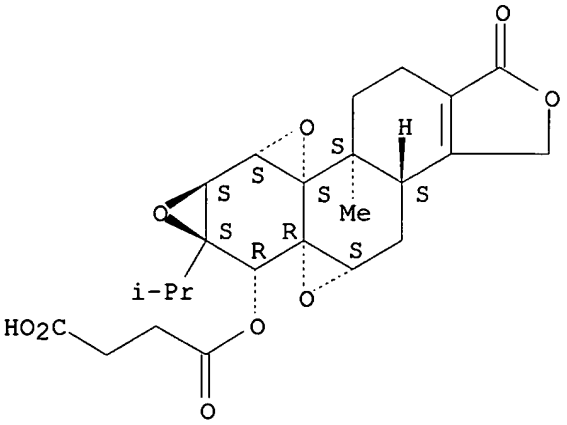
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(diterpenoid triepoxides as anti-proliferative agents)

RN 195883-06-8 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

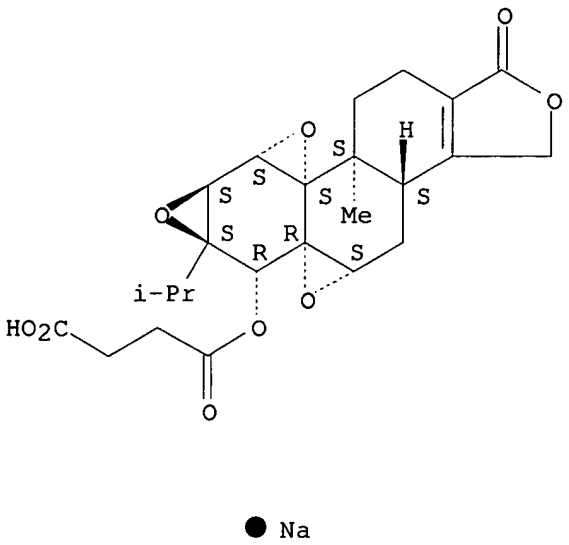
L8 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:880210 CAPLUS
DOCUMENT NUMBER: 135:40625
TITLE: Prevention of graft-versus-host disease by a novel immunosuppressant, PG490-88, through inhibition of alloreactive T cell expansion
AUTHOR(S): Chen, Benny J.; Liu, Congxiao; Cui, Xiuyu; Fidler, John M.; Chao, Nelson J.
CORPORATE SOURCE: Bone Marrow Transplantation Program, Duke University Medical Center, Durham, NC, 27705, USA
SOURCE: Transplantation (2000), 70(10), 1442-1447
CODEN: TRPLAU; ISSN: 0041-1337
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PG490-88 is a water soluble, semisynthetic derivative of a novel compound PG490 (triptolide) purified from the Chinese herb Tripterygium Wilfordii Hook F. PG490-88 was administered into recipient mice in a model (B10.D2→BALB/c) of lethal graft-vs.-host disease (GVHD) to study the effects of PG490-88 on GVHD and on the various steps involved in the pathol. course of GVHD. Injection of PG490-88 i.p. at a dose of 0.535 mg/kg/day for the first 3 wk after transplantation protected all the recipients from developing GVHD up to 100 days after transplantation. PG490-88 inhibited in vivo both CD4+Vβ3+ and CD8+Vβ3+ T cell (alloreactive T cells in this model) expansion in the spleen by 64.09 and 34.02%, resp., at the time when Vβ3+ cell expansion was in the logarithmic phase (day 3 after transplantation). Intracellular cytokine staining without further in vitro activation demonstrated 47.42% inhibition of IL-2 production among CD4+ spleen cells in PG490-88-treated mice as compared to GVHD control on day 3 after transplantation. In contrast, CD25 (α chain of interleukin-2 receptor) expression did not differ. PG490-88 is highly effective in prevention of murine GVHD. The immunosuppressive effect of PG490-88 is mediated by inhibition of alloreactive T cell expansion through interleukin-2 production

IT **195883-09-1**, PG 490-88
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prevention of graft-vs.-host disease by a novel immunosuppressant, PG490-88, by inhibition of alloreactive T cell expansion through suppression of interleukin-2 production)

RN 195883-09-1 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:616239 CAPLUS
DOCUMENT NUMBER: 134:80630
TITLE: Immunosuppressive activity of the Chinese medicinal plant Tripterygium wilfordii. I. Prolongation of rat cardiac and renal allograft survival by the PG27 extract and immunosuppressive synergy in combination therapy with cyclosporine
AUTHOR(S): Wang, Jian; Xu, Rensheng; Jin, Renling; Chen, Zhenqing; Fidler, John M.
CORPORATE SOURCE: Pharmagenesis, Palo Alto, CA, 94304, USA
SOURCE: Transplantation (2000), 70(3), 447-455
CODEN: TRPLAU; ISSN: 0041-1337
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PG27 is an immunosuppressive fraction purified from an extract of a Chinese medicinal plant, T. wilfordii. PG27 was tested in rat cardiac and renal allotransplantation, and the immunosuppressive interaction with cyclosporine (CsA) was examined. Brown Norway (BN) rat heart or kidney allografts were transplanted into the abdomen of Lewis rats, which were treated i.p. or orally with PG27, CsA, or both. PG27 administered i.p. to Lewis recipients for 16 days at 10-30 mg/kg/day increased the median survival time of BN heart allografts from 7 to 18-22 days. Oral administration was effective, with cardiac allograft survival prolonged to >100 days with 52 days of treatment. PG27 at 20-30 mg/kg/day extended the median survival time of BN kidney allograft recipients from 9 to 36.5-77 days, and 30 mg/kg/day for 52 days extended survival beyond 200 days. PG27 combined with CsA enhanced heart and kidney allograft survival, even at doses of CsA ineffective when administered alone. The addition of 5 or 10 mg PG27/kg/day reduced by 50-75% the CsA dose needed for 100% kidney allograft survival. The combination index was <1.0, indicating synergy of PG27 with CsA in prolonging cardiac and renal allograft survival. Furthermore, the PG27/CsA combination exerted a pos. influence on renal allograft function. PG490 (triptolide, a constituent of PG27) and PG490-88 (a water-soluble prodrug of PG490, 14-succinyltriptolide sodium) suppressed rejection of cardiac and renal allografts. Thus the PG27 herbal extract demonstrated immunosuppressive activity by prolonging heart and kidney allograft survival, displaying synergy in the immunosuppressive interaction with CsA, and improving renal allograft function in combination with CsA. PG490 and PG490-88 were also effective.

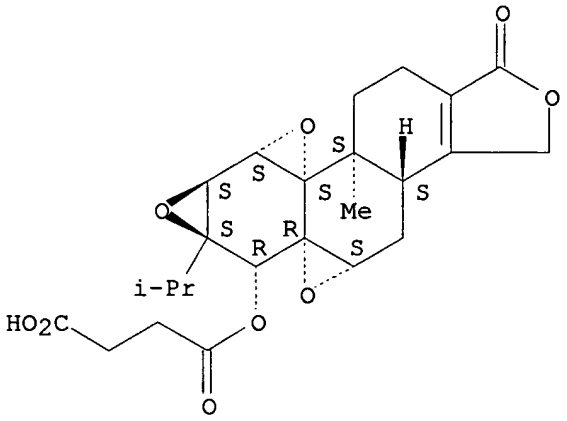
IT **195883-06-8**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(cardiac and renal allograft survival prolongation by the PG27 extract of Tripterygium wilfordii, its component triptolide, and the latter's prodrug)

RN 195883-06-8 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:592571 CAPLUS

DOCUMENT NUMBER: 133:172168

TITLE: Combined therapy of diterpenoid triepoxides and TRAIL (TNF-related apoptosis-inducing ligand) for synergistic killing of tumor cells

INVENTOR(S): Rosen, Glenn D.

PATENT ASSIGNEE(S): Board of Trustees of the Leland Stanford Junior University, USA

SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000048619	A1	20000824	WO 2000-US3891		20000215
W: AU, CA, JP, SG					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
US 6329148	B1	20011211	US 2000-505250		20000215
PRIORITY APPLN. INFO.:			US 1999-120313P	P	19990216
			US 1999-149989P	P	19990820

OTHER SOURCE(S): MARPAT 133:172168

AB A synergistic combination of TRAIL or ligands that interact with TRAIL receptors, and diterpenoid triepoxides is used to increase tumor cell killing by induction of apoptosis. Ligands useful in the invention include TRAIL, analogs thereof, stabilized multimers of TRAIL, TRAIL mimetics, etc. Of particular interest are combined therapy with the diterpenoid triepoxides triptolide and derivs. and analogs thereof. The combination of PG490, containing triptolide, and TRAIL induced apoptosis in greater than 80-99% of cells in all solid tumor cell lines tested.

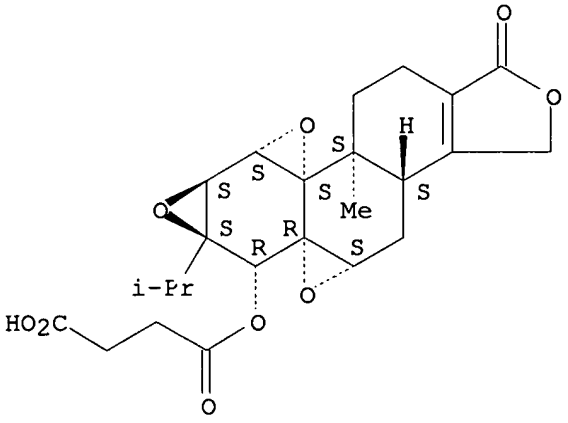
IT **195883-06-8**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined therapy of diterpenoid triepoxides and TRAIL (TNF-related apoptosis-inducing ligand) for synergistic killing of tumor cells)

RN 195883-06-8 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:161261 CAPLUS

DOCUMENT NUMBER: 132:194527

TITLE: synthesis of triptolide prodrugs having high aqueous solubility for immunosuppressive and anti-inflammatory treatment

INVENTOR(S): Musser, John H.

PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA

SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

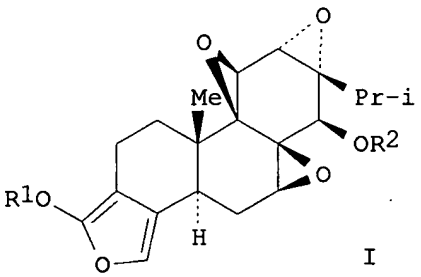
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012483	A1	20000309	WO 1999-US20150	19990902
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2342901	AA	20000309	CA 1999-2342901	19990902
AU 9962425	A1	20000321	AU 1999-62425	19990902
AU 764123	B2	20030807		
US 6150539	A	20001121	US 1999-389769	19990902
EP 1109789	A1	20010627	EP 1999-949582	19990902
EP 1109789	B1	20030716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523495	T2	20020730	JP 2000-567513	19990902
AT 245145	E	20030815	AT 1999-949582	19990902
EP 1375488	A1	20040102	EP 2003-16090	19990902

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
US 6548537 B1 20030415 US 2001-798319 20010302
PRIORITY APPLN. INFO.: US 1998-98809P P 19980902
EP 1999-949582 A3 19990902
WO 1999-US20150 W 19990902
OTHER SOURCE(S): MARPAT 132:194527
GI



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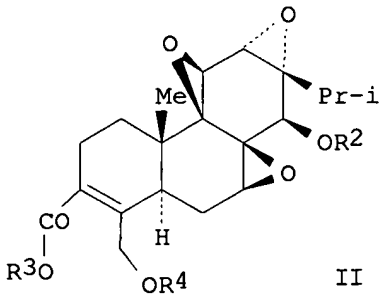
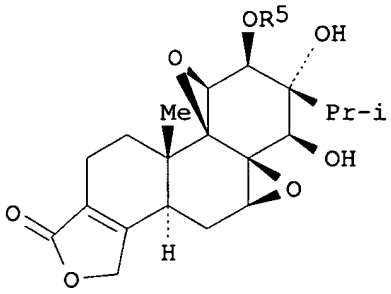


II



III

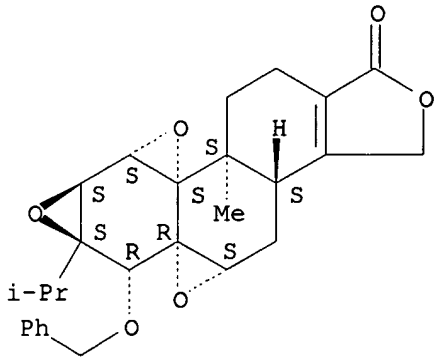
AB Synthesis of triptolide prodrugs (I) (R1 = carboxylic ester, carbonate, inorg. ester; R2 = mono-, di-, trisaccharide, H, carboxylic ester), (II) (R3 = substituted ester, substituted carbonate; R4 = R2), (III) [R5 = (un)substituted alkyl sulfonate, aryl sulfonate, fluorosulfonate, alkyl phosphate, alkyl borate, trialkylammonium, dialkylsulfonium] useful in immunosuppressive and anti-inflammatory treatment are described. The hydrolyzable triptolide analogs have improved water solubility and generally lower toxicity than the parent compound and formulations (no data) are discussed.

IT **260246-85-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of triptolide prodrugs having high aqueous solubility for immunosuppressive and anti-inflammatory treatment)

RN 260246-85-3 CAPLUS

CN Trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one, 3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-(phenylmethoxy)-, (3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)- (9CI) (CA INDEX NAME)

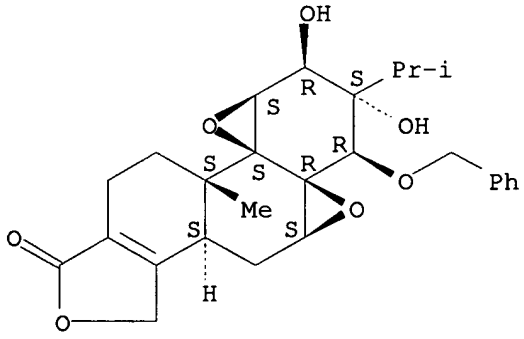
Absolute stereochemistry.



IT **260246-86-4P 260246-92-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of triptolide prodrugs having high aqueous solubility for immunosuppressive and anti-inflammatory treatment)

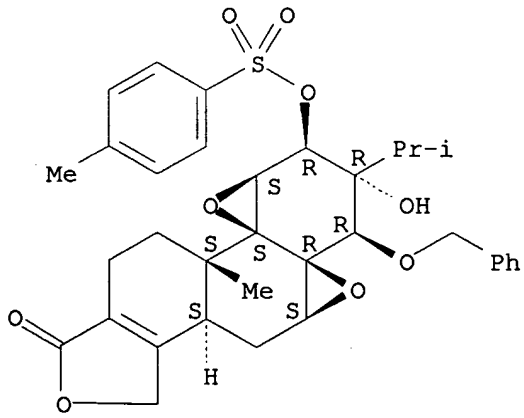
RN 260246-86-4 CAPLUS
CN Bisoxireno[4b,5:8a,9]phenanthro[1,2-c]furan-4(2H)-one, 1b,3,6,6b,7,7a,9,10,11,11a-decahydro-10,11-dihydroxy-1b-methyl-10-(1-methylethyl)-9-(phenylmethoxy)-, (1aS,1bS,6bS,7aS,8aR,9R,10S,11R,11aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 260246-92-2 CAPLUS
CN Bisoxireno[4b,5:8a,9]phenanthro[1,2-c]furan-4(2H)-one, 1b,3,6,6b,7,7a,9,10,11,11a-decahydro-10-hydroxy-1b-methyl-10-(1-methylethyl)-11-[[(4-methylphenyl)sulfonyl]oxy]-9-(phenylmethoxy)-, (1aS,1bS,6bS,7aS,8aR,9R,10R,11R,11aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:597498 CAPLUS
DOCUMENT NUMBER: 127:243260
TITLE: Immunosuppressive triptolide compounds and methods for their use
INVENTOR(S): Qi, You Mao; Musser, John H.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5663335	A	19970902	US 1996-609277	19960301
WO 9731920	A1	19970904	WO 1997-US2331	19970218
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9721258	A1	19970916	AU 1997-21258	19970218
CA 2248266	AA	19970904	CA 1997-2248266	19970228
WO 9731921	A1	19970904	WO 1997-US3202	19970228
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9720613	A1	19970916	AU 1997-20613	19970228
AU 712241	B2	19991104		
EP 907652	A1	19990414	EP 1997-908794	19970228
EP 907652	B1	20050525		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1246121	A	20000301	CN 1997-194247	19970228
CN 1133637	B	20040107		
JP 2001504437	T2	20010403	JP 1997-531172	19970228
AT 296304	E	20050615	AT 1997-908794	19970228
ES 2242214	T3	20051101	ES 1997-908794	19970228
US 5962516	A	19991005	US 1999-142128	19990125
HK 1019444	A1	20051202	HK 1999-104468	19991011

PRIORITY APPLN. INFO.:
US 1996-609277 A2 19960301
WO 1997-US2331 W 19970218
WO 1997-US3202 W 19970228

OTHER SOURCE(S): MARPAT 127:243260

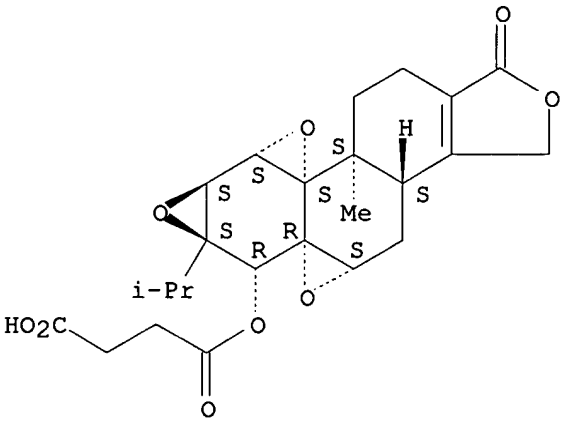
AB Compds. and methods for use in immunosuppressive and anti-inflammatory treatment are described. The compds. are triptolide analogs with improved water solubility and low toxicity. Preparation of triptolide salts, e.g. triptolide succinate (YM-262), is described. Compds. of the invention were tested for immunosuppressive activity using several biol. assays.

IT **195883-06-8P**, YM 262 **195883-07-9P**, YM 273
195883-09-1P, YM 274 **195883-11-5P**, YM 276
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(immunosuppressive triptolide compds., triptolide salt preparation, and methods of use)

RN 195883-06-8 CAPLUS

CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

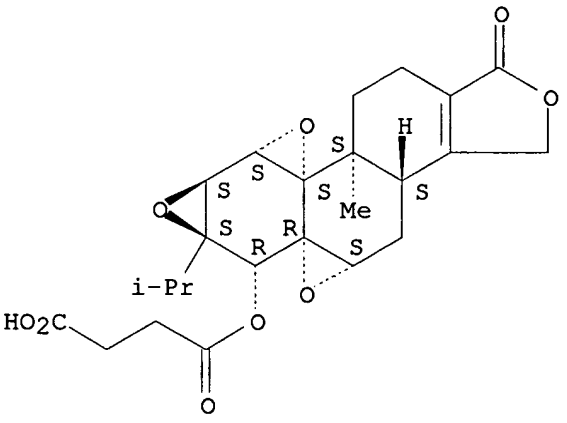


RN 195883-07-9 CAPLUS
CN Butanedioic acid, mono[1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, [3bS-(3bα,4aα,5aS*,6β,6aβ,7a.beta.,7bα,8aR*,8bβ)]-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

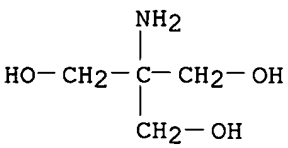
CRN 195883-06-8
CMF C24 H28 O9

Absolute stereochemistry.



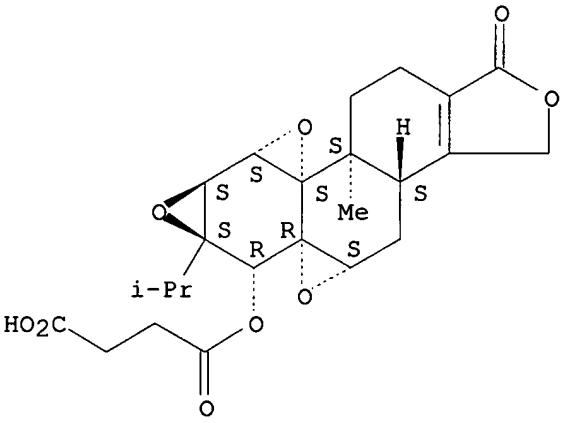
CM 2

CRN 77-86-1
CMF C4 H11 N O3



RN 195883-09-1 CAPLUS
CN Butanedioic acid, mono[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl] ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



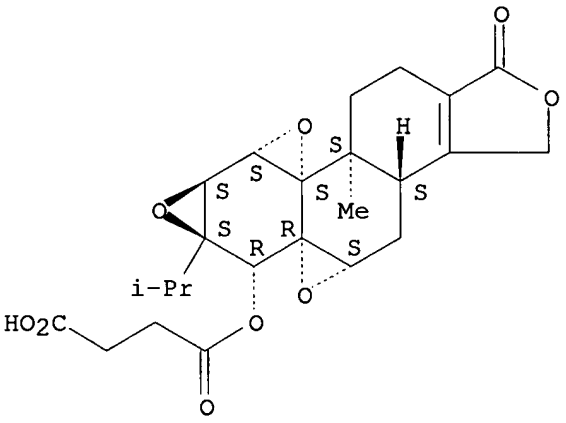
● Na

RN 195883-11-5 CAPLUS
CN L-Lysine, mono[[3bS-(3bα,4aα,5aS*,6β,6aβ,7aβ,7bα,8aR*,8bβ)]-1,3,3b,4,4a,6,6a,7a,7b,8b,9,10-dodecahydro-8b-methyl-6a-(1-methylethyl)-1-oxotrisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-6-yl butanedioate] (9CI) (CA INDEX NAME)

CM 1

CRN 195883-06-8
CMF C24 H28 O9

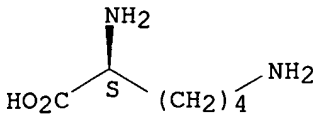
Absolute stereochemistry.



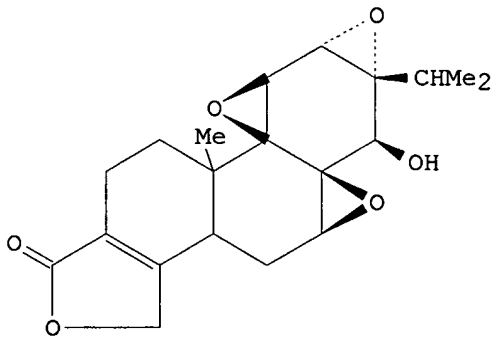
CM 2

CRN 56-87-1
CMF C6 H14 N2 O2

Absolute stereochemistry.



L8 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:182770 CAPLUS
DOCUMENT NUMBER: 118:182770
TITLE: Structure modification of triptolide
AUTHOR(S): Yu, D. Q.; Zhang, D. M.; Wang, H. B.; Liang, X. T.
CORPORATE SOURCE: Inst. Mater. Med., Chin. Acad. Med. Sci., Beijing, 100050, Peop. Rep. China
SOURCE: Yaoxue Xuebao (1992), 27(11), 830-6
CODEN: YHHPAL; ISSN: 0513-4870
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



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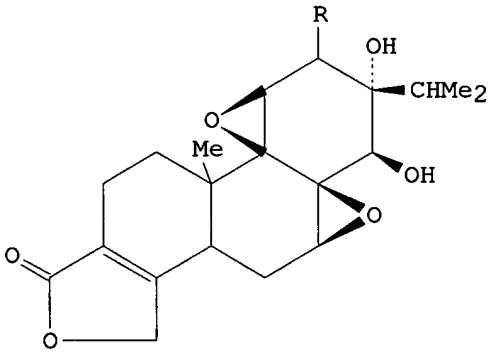


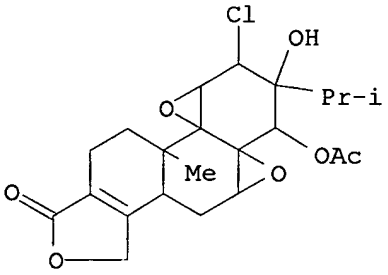
II

AB The structure modification of triptolide (I) was studied and nine triptolide derivs. were synthesized. An immunosuppression in vitro assay showed that tripchlorolide (II, R = Cl) and tripbromolide II (R = Br have strong activity similar to triptolide, while their toxicities are much lower. The activity of other compds. was low. A simple method for the preparation of tripchlorolide from triptolide in 92% yield was found by reacting triptolide with HCl in acetone under mild conditions.

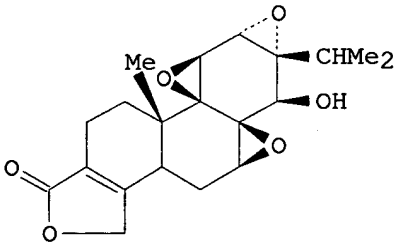
IT **141069-13-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and immunosuppression by, structure in relation to)

RN 141069-13-8 CAPLUS

CN Triptolide, 12-chloro-12,13-deepoxy-13-hydroxy-, 14-acetate, (12β)- (9CI) (CA INDEX NAME)



L8 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:235903 CAPLUS
DOCUMENT NUMBER: 116:235903
TITLE: Chemical transformation of triptolide.
AUTHOR(S): Yu, Dequan; Zhang, Dongming; Wang, Huaibin; Liang, Xiaotian
CORPORATE SOURCE: Inst. Mater. Med., Chin. Acad. Med. Sci., Beijing, 100050, Peop. Rep. China
SOURCE: Chinese Chemical Letters (1991), 2(12), 937-40
CODEN: CCLEE7; ISSN: 1001-8417
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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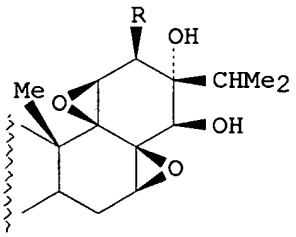
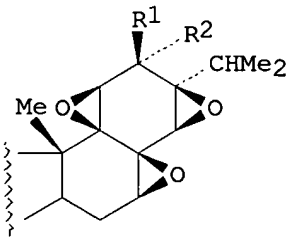
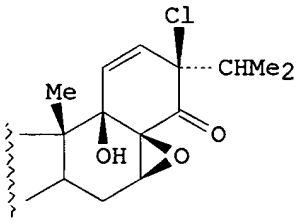


II



III



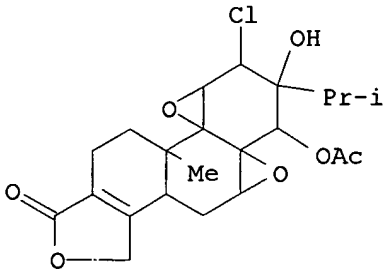
IV

AB Triptolide (I) was treated with various reagents to give diols II (R = Cl, Br, OAc, OMe, SPr). I, when treated with Et2NH, gave triepoxide III (R1 = H, R2 = OH). Dehydration of II gave III (R1 = Cl, R2 = H). Treatment of I with concentrated HCl at room temperature gave 67% ketone IV.

IT **141069-13-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and immunodepressant activity of)

RN 141069-13-8 CAPLUS

CN Triptolide, 12-chloro-12,13-deepoxy-13-hydroxy-, 14-acetate, (12β)- (9CI) (CA INDEX NAME)

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CA SUBSCRIBER PRICE                                        -23.25     -23.25

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun  9, 2006 (20060609/UP).

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L3            5 S L1
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L5           85 S L1 FULL
L6           33 S L2 FULL
L7           52 S L5 NOT L6

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L8           31 S L7 FULL

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